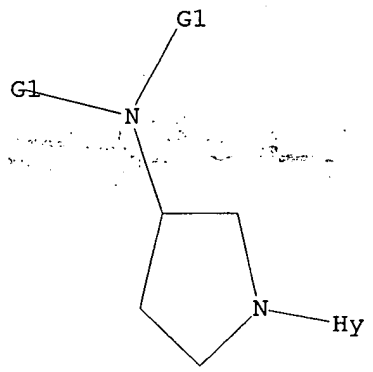
```
=> d his

     (FILE 'HOME' ENTERED AT 18:55:48 ON 11 JUN 2003)

     FILE 'REGISTRY' ENTERED AT 18:55:56 ON 11 JUN 2003
L1                STRUCTURE UPLOADED
L2                QUE L1
L3             50 S L2

     FILE 'STNGUIDE' ENTERED AT 18:56:22 ON 11 JUN 2003

     FILE 'REGISTRY' ENTERED AT 18:58:05 ON 11 JUN 2003
L4                STRUCTURE UPLOADED
L5                QUE L4
L6              5 S L5
L7           6006 S L2 SSS FUL
L8            174 S L5  SUB=L7 FUL

     FILE 'CAPLUS' ENTERED AT 18:59:03 ON 11 JUN 2003
L9             22 S L8

     FILE 'REGISTRY' ENTERED AT 18:59:23 ON 11 JUN 2003

     FILE 'CAPLUS' ENTERED AT 18:59:48 ON 11 JUN 2003

=> d l2
L2 HAS NO ANSWERS
L1                STR
```




```
G1 C,H


Structure attributes must be viewed using STN Express query preparation.
L2                QUE  ABB=ON  PLU=ON  L1


=> d l5
L5 HAS NO ANSWERS
L4                STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
```

L5 QUE ABB=ON PLU=ON L4

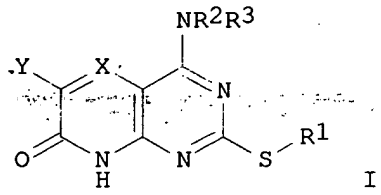
=> d bib abs hitstr l9 1-22

L9 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2003:242333 CAPLUS
DN 138:271701
TI Preparation of pteridinones as modulators of chemokine receptor activity
IN Bonnert, Roger Victor; Cage, Peter Alan; Hunt, Simon Frazer; Walters, Iain Alastair Stewart; Austin, Rupert Philip
PA Astrazeneca AB, Swed.; Astrazeneca UK Limited
SO PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003024966	A1	20030327	WO 2002-GB3684	20020809

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI SE 2001-2716 A 20010814
OS MARPAT 138:271701
GI



I

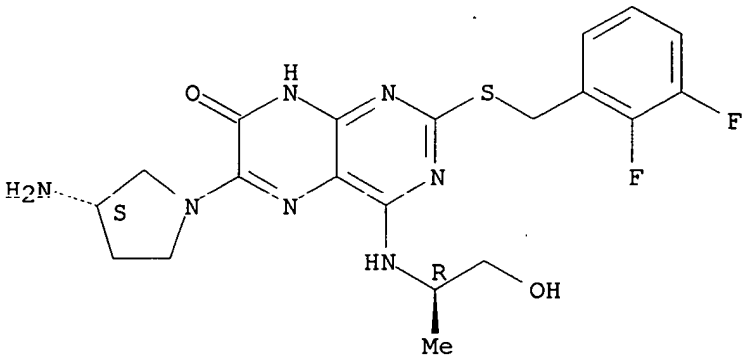
AB The title compds. [I; R1 = cycloalkyl, alkyl, alkenyl, etc.; R2, R3 = H, cycloalkyl, alkyl, etc.; Y = OR4, SR4, heteroaryl, etc.; R4 = H, alkyl, aryl, etc.; X = N], useful for treating a chemokine mediated disease wherein the chemokine binds to one or more chemokine receptors, were prepd. E.g., a 7-step synthesis of (R)-I [R1 = (2,3-difluorophenyl)methyl; R2 = (1R)-2-hydroxy-1-methylethyl; R3 = H; Y = (2-hydroxyethyl)amino; X = N], starting from 4,6-diamino-2-pyrimidinethiol and 2,3-difluorobenzyl bromide, was given. The exemplified compds. I were found to have IC50 values of < 10 .mu.M against CXCR2 receptor binding.

IT **503271-66-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pteridinones as modulators of chemokine receptor activity)

RN 503271-66-7 CAPLUS
CN 7(1H)-Pteridinone, 6-[(3S)-3-amino-1-pyrrolidinyl]-2-[[(2,3-difluorophenyl)methyl]thio]-4-[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.



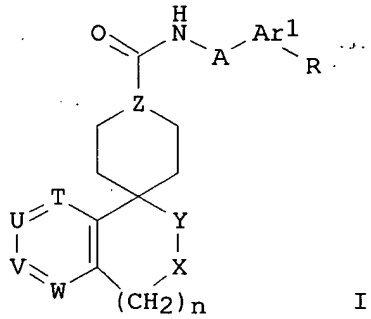
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2003:133239 CAPLUS
DN 138:170086
TI Preparation of spiro[isoquinoline-piperidine], spiro[indoline-piperidine], and spirocyclohexane compounds as antagonists of neuropeptide Y receptor
IN Fukami, Takehiro; Nonoshita, Katsumasa; Sagara, Takeshi; Kishino, Hiroyuki
PA Banyu Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014083	A1	20030220	WO 2002-JP7922	20020802

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2001-239567 A 20010807
OS MARPAT 138:170086
GI



I

AB The invention relates to compds. such as spiro[cyclohexane-1,1'-(3'H)-isobenzofuran], spiro[4-, 5-, 6-, or 7-azaisobenzofuran-1(3H),1'-cyclohexane], spiro[indoline-3,1'-cyclohexane], spiro[indoline-3,4'-piperidine], spiro[isobenzofuran-1(3H),4'-piperidine], and spiro[isoquinoline-1(2H),4'-piperidine] represented by the general formula (I) or salts or esters thereof [A = linear C1-6 hydrocarbon group which may be substituted or interrupted by oxygen or nitrogen; Ar1 = (un)substituted aryl or heteroaryl; n = 0,1; R = H, lower alkylene; T, U, V, W = (un)substituted CH or N and at least 2 of T, U, V, and W is (un)substituted CH; X = -N(SO2R1)-, -N(COR2)-, or CO; Y = -C(R3)(R4)-, O, or -N(R5)-; and Z = CH or nitrogen; wherein R1 , R2, R5 = H, lower alkyl,

aralkyl, aryl; R3, R4 = H, HO, lower alkyl, aralkyl, aryl]. These compds. exhibit neuropeptide Y (NPY) receptor antagonism and are therefore useful as treating agents for various diseases in which NPY participates such as circulatory diseases, central nervous system diseases, and metabolic diseases, in particular over eating (hyperphagia), obesity, and diabetes. Thus, 64 mg 4-phenylcyclohexylamine hydrochloride and 115 mg 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride were added to a soln. of 74 mg trans-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxylic acid in 2 mL pyridine and stirred at room temp. for 24 h to give trans-3'-oxo-N-(trans-4-phenylcyclohexyl)spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide (II). II and trans-N-[(S)-1-benzyl-2-(benzylamino)ethyl]-1-(methanesulfonyl)spiro[indoline-3,1'-cyclohexane]-4'-carboxamide showed IC50 of 2.5 and 0.69 nM for inhibiting the binding of [125I]peptide YY to human NPY Y5 receptor.

IT **497238-54-7P**

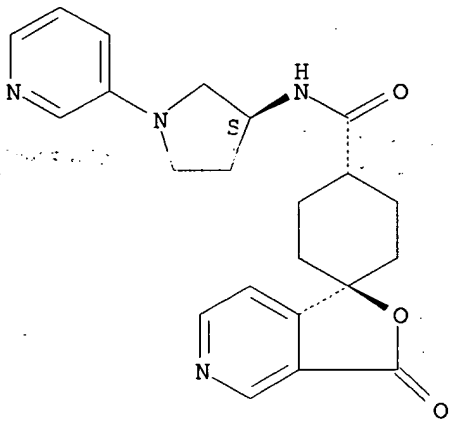
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of spiro[isoquinoline-piperidine], spiro[indoline-piperidine], and spiro[azaisobenzofuran-cyclohexane], and spirocyclohexane compds. as antagonists of neuropeptide Y receptor for treating overeating, obesity, and diabetes)

RN 497238-54-7 CAPLUS

CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, 3'-oxo-N-[(3S)-1-(3-pyridinyl)-3-pyrrolidinyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

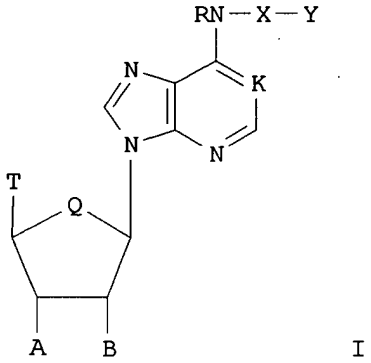


RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2002:885976 CAPLUS
DN 137:370321
TI Preparation of adenosine analogs for the treatment of insulin resistance syndrome and diabetes
IN Herling, Andreas; Jaehne, Gerhard; Maguire, Martin P.; Spada, Alfred P.; Myers, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Ewing, William R.
PA Aventis Pharma Deutschland GmbH, Germany
SO Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1258247	A1	20021120	EP 2001-111651	20010514
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2002092093	A1	20021121	WO 2002-EP5301	20020514
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI EP 2001-111651 A 20010514
OS MARPAT 137:370321
GI



I

AB The invention relates to the use of adenosine compds. I wherein K is N, N.fwdarw.O, or CH; Q is CH2 or O; R is hydrogen, alkyl, allyl, 2-methallyl, 2-butenyl, cycloalkyl; X is N-contg. heterocycle; E is O or S; Y is hydrogen, alkyl, aralkyl, aryl; T is hydrogen, alkyl, acyl, thioacyl, halo, carboxyl; amide, thioamide; A and B are independently is hydrogen, OH, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, and certain

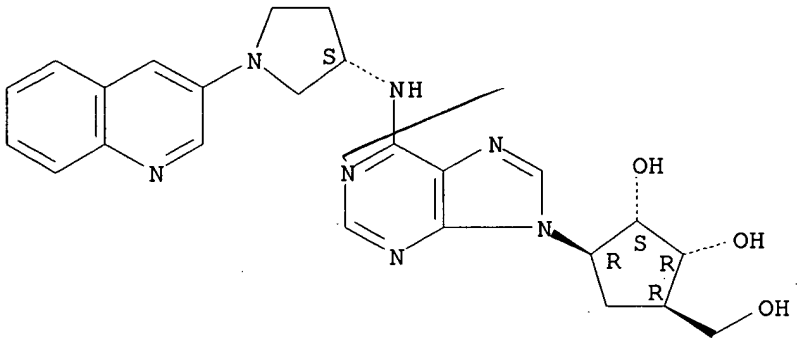
derivs. thereof for producing a medicine for the treatment of the insulin resistance syndrome and diabetes. Thus, (2R,3R,4S,5R)-2-hydroxymethyl-5-[6-[1-(5-chloropyridin-2-yl)-pyrrolidin-3(S)-ylamino]-purin-9-yl]-tetrahydrofuran-3,4-diol was prepd. for the treatment of insulin resistance syndrome and diabetes. Measurement of insulin sensitivity in conscious rats and in vitro adenosine receptor binding affinity detn. were reported.

IT **202267-58-1P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of adenosine analogs for the treatment of insulin resistance syndrome and diabetes)

RN 202267-58-1 CAPLUS

CN 1,2-Cyclopentanediol, 3-(hydroxymethyl)-5-[6-[[(3S)-1-(3-quinolinyl)-3-pyrrolidinyl]amino]-9H-purin-9-yl]-, (1S,2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

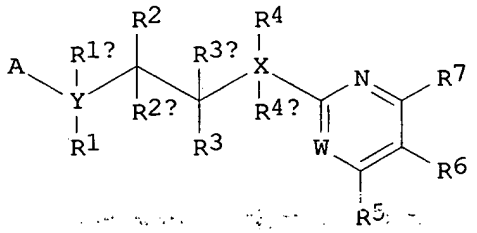


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

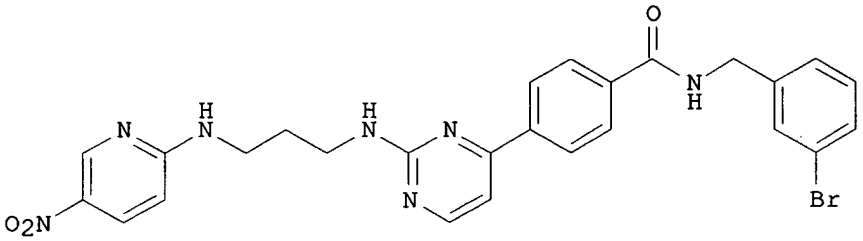
L9 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2002:814853 CAPLUS
DN 137:325431
TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
IN Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjo; Levine, Barry H.
PA USA
SO U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002156087	A1	20021024	US 2001-949035	20010906
	US 6417185	B1	20020709	US 1999-336038	19990618
PRAI	US 1999-336038	A2	19990618		
	US 2000-230480P	P	20000906		
	US 1998-89978P	P	19980619		

OS MARPAT 137:325431
GI



I



II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example,

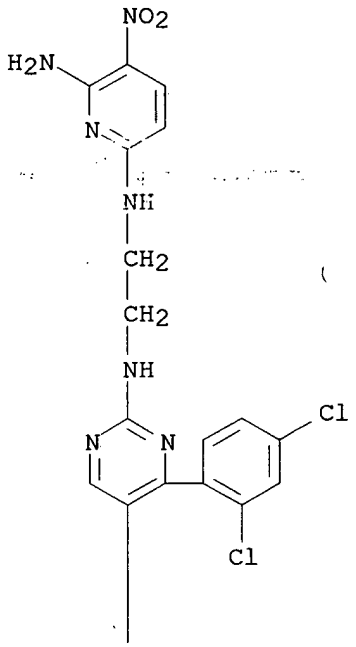
2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT **252917-04-7P**, 2,5-Pyrrolidinedione, 1-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-(2,4-dichlorophenyl)-5-pyrimidinyl]-3-(dimethylamino)-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

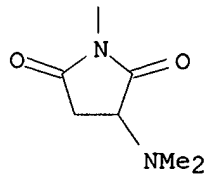
RN 252917-04-7 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-(2,4-dichlorophenyl)-5-pyrimidinyl]-3-(dimethylamino)- (9CI) (CA INDEX NAME)

PAGE 1-A



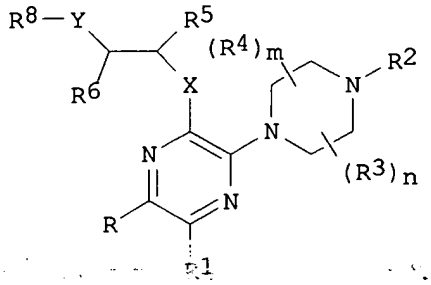
PAGE 2-A



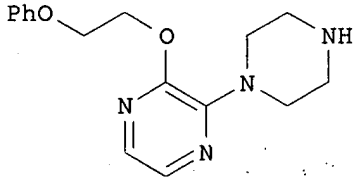
L9 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2002:790220 CAPLUS
DN 137:294982
TI Preparation of piperazinylpyrazinyl aryloxyalkyl ethers as 5-HT2C receptor agonists
IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias
PA Biovitrum AB, Swed.
SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6465467	B1	20021015	US 2000-589282	20000608
US 2003092694	A1	20030515	US 2002-269670	20021011
PRAI SE 1999-1884	A	19990521		
US 1999-137527P	P	19990603		
US 2000-573348	B2	20000519		
US 2000-589282	A3	20000608		

OS MARPAT 137:294982
GI



I



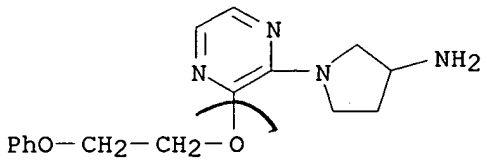
II

AB The title compds. (I) [wherein X and Y = independently O, S, or NR7; R and R1 = independently H, alkyl, or halo; or C2RR1 = optionally halo substituted benzene or thiophene; R2 = H, OH, or alkyl; R3, R4, and R5 = independently H or alkyl; R6 = H or alkyl; or CYR6R8 for a 5-6 membered heterocycle; R7 = H or alkyl, preferably Me or Et; R8 = (un)substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prepd. and tested as 5-HT2C receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K2CO3 in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT2C receptor protein with Ki values typically ranging from 1 nM to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds. I exhibited agonist efficacy at the 5-HT2C receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral

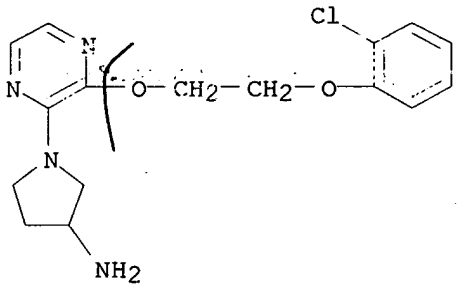
administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

IT **313654-42-1P**, 2-(Phenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether **313654-43-2P**, 2-(2-Chlorophenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether **313654-45-4P**, 2-(4-Chlorophenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles)

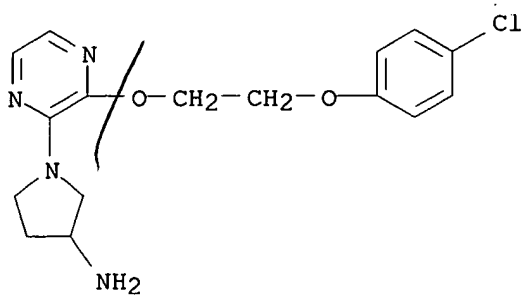
RN 313654-42-1 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-(2-phenoxyethoxy)pyrazinyl]- (9CI) (CA INDEX NAME)



RN 313654-43-2 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[2-(2-chlorophenoxy)ethoxy]pyrazinyl]- (9CI) (CA INDEX NAME)



RN 313654-45-4 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[2-(4-chlorophenoxy)ethoxy]pyrazinyl]- (9CI) (CA INDEX NAME)



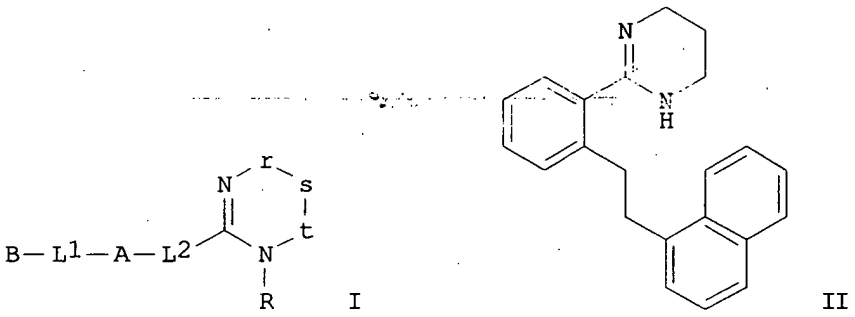
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2002:615577 CAPLUS
DN 137:169536
TI Preparation of aryl-substituted tetrahydropyrimidines and related compounds as melanocortin-4 receptor binding compounds
IN Maguire, Martin P.; Dai, Mingshi; Vos, Tricia J.
PA Millennium Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 228 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002062766	A2	20020815	WO 2002-US3566	20020207
WO 2002062766	A3	20021003		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-778468 A 20010207
OS MARPAT 137:169536
GI



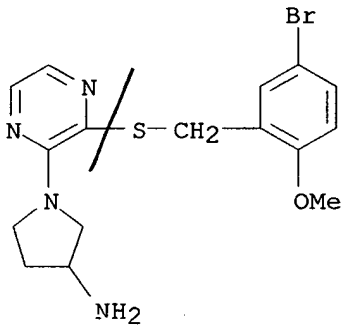
I II

AB Title compds. I [wherein A and B = independently (un)substituted biaryl, (hetero)aryl, Ph, (cyclo)alkyl, (cyclo)alkoxy, alkenyl, alkynyl, OH, acyl(oxy), carbamoyl, amino, thiol, amidino, imino, NO2, N3, etc.; L1 and L2 =- covalent bond or (un)substituted alkyl optionally interrupted by O, S, or N; r = covalent bond, CH, CH2, CHR1, CR1R2, or H; t = CH, CH2, CHR3, CR3R4, or H; s = CHR5, CR5R6, or absent; R = H, (un)substituted alkyl, arylalkyl, or heteroalkyl, and may optionally be linked to A, B, L1, or L2; R1-R6 = independently (un)substituted alkyl, halo, thiol, thioether, thioalkyl, alkoxy, and may be optionally linked to each other to form addnl. ring moieties, e.g., quinoxalinyl; or pharmaceutically acceptable salts thereof] were prepd. as melanocortin-4 receptor binding (MC4-R) compds. For example, stirring a soln. of .alpha.-tolunitrile with diisopropylamine and BuLi in hexanes at -78.degree. under nitrogen for 1

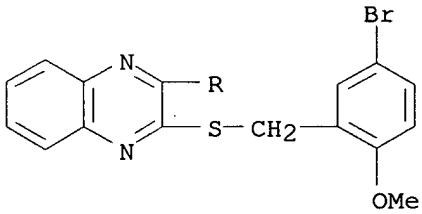
h, followed by addn. of HMPA and 1-chloromethylnaphthalene in THF, afforded 2-(2-naphthalen-1-ylethyl)benzonitrile. Heating the benzonitrile with 1,3-diaminopropane in the presence of H2S at 80.degree. for 72 h gave the tetrahydropyrimidinyl cycloaddn. product II. The latter exhibited exemplary inhibition of MC4-R in a scintillation proximity assay. I are useful for the treatment of disorders assocd. with pigmentation, bones, or wt. loss (no data).

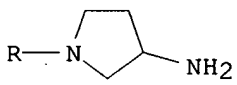
IT **325825-60-3P**, 1-[3-(5-Bromo-2-methoxybenzylsulfanyl)pyrazin-2-yl]pyrrolidin-3-ylamine **325825-83-0P**, 1-[3-(5-Bromo-2-methoxybenzylsulfanyl)quinoxalin-2-yl]pyrrolidin-3-ylamine **326482-53-5P 326482-54-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MC4-R binding compd.; prepn. of aryl-substituted tetrahydropyrimidines and related compds. as melanocortin-4 receptor binding compds. for treatment of pigmentation, bone, and wt. loss disorders)

RN 325825-60-3 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[[(5-bromo-2-methoxyphenyl)methyl]thio]pyrazinyl]- (9CI) (CA INDEX NAME)



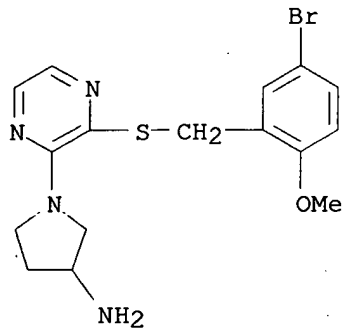
RN 325825-83-0 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[[(5-bromo-2-methoxyphenyl)methyl]thio]-2-quinoxalinyl]- (9CI) (CA INDEX NAME)





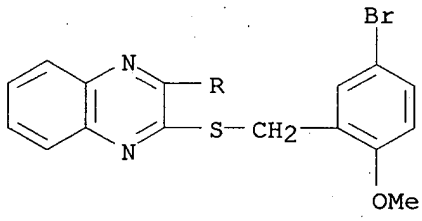
RN 326482-53-5 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[[(5-bromo-2-methoxyphenyl)methyl]thio]pyrazinyl]-

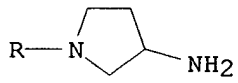
, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 326482-54-6 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[[(5-bromo-2-methoxyphenyl)methyl]thio]-2-quinoxalinyl]-, dihydrochloride (9CI) (CA INDEX NAME)





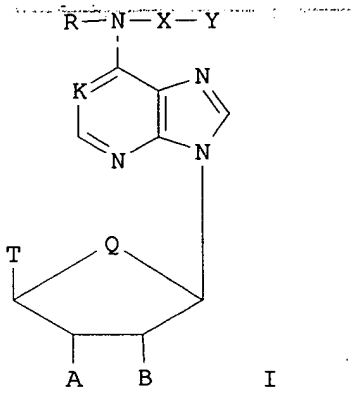
●2 HCl

L9 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2002:556109 CAPLUS
DN 137:109451
TI Preparation of adenosine analogs having antihypertensive, cardioprotective, anti-ischemic, and antilipolytic properties
IN Myers, Michael R.; Maguire, Martin P.; Spada, Alfred P.; Ewing, William R.; Pauls, Henry W.; Choi-Sledeski, Yong Mi
PA USA
SO U.S. Pat. Appl. Publ., 29 pp., Cont.-in-part of Appl. No. PCT/US97/11320. CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2002099030	A1	20020725	US 2002-104133	20020322
US 6559313	B2	20030506		
WO 9801426	A1	19980115	WO 1997-US11320	19970701

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI US 1996-21366P P 19960708
WO 1997-US11320 A2 19970701
OS MARPAT 137:109451
GI



I

AB Adenosine derivs. and analogs I (K = N, NO, CH; Q = CH2, O; R = H, alkyl, allyl, 2-methylallyl, 2-butenyl, cycloalkyl; X = N-contg. heterocycle; Y = H, alkyl, aralkyl, aryl, heterocycle, heterocycloalkyl; T = H, alkyl, acyl, thioacyl, halo, carboxyl, alkoxymethyl; A, B = independently H, alkyl, hydroxyalkyl, OH) were prepd. as anti-hypertensive, cardioprotective, anti-ischemic, and antilipolytic agents, and for treating hyperlipidemia and hypercholesterolemia. Thus,

(2R,3R,4S,5R)-2-hydroxymethyl-5[6-[(1-5-chloropyridin-2-yl)-pyrrolidin-3(S)-ylamino]-purin-9-yl]-tetrahydrofuran-3,4-diol was prepd. and tested for its biol. activity (no data).

IT **202267-58-1P**

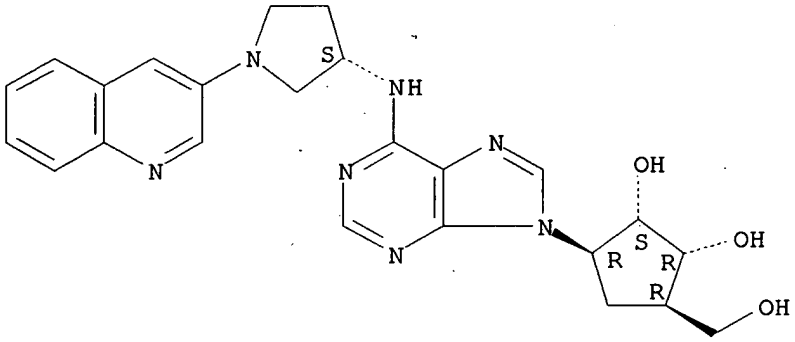
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of adenosine nucleosides as antihypertensives, cardioprotectives, anti-ischemics and anti-lipolytics)

RN 202267-58-1 CAPLUS

CN 1,2-Cyclopentanediol, 3-(hydroxymethyl)-5-[6-[[(3S)-1-(3-quinolinyl)-3-pyrrolidinyl]amino]-9H-purin-9-yl]-, (1S,2R,3R,5R)- (9CI) (CA INDEX NAME)

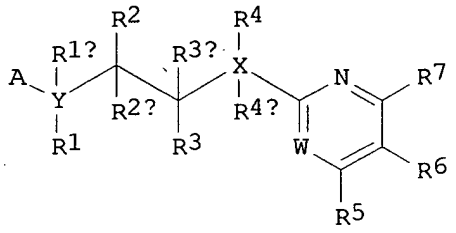
Absolute stereochemistry.



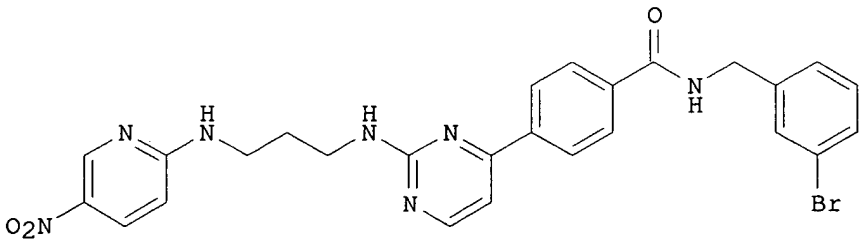
L9 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2002:185092 CAPLUS
DN 136:247598
TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
IN Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.
PA Chiron Corporation, USA
SO PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002020495	A2	20020314	WO 2001-US42081	20010906
	WO 2002020495	A3	20020620		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001095026	A5	20020322	AU 2001-95026	20010906
PRAI	US 2000-230480P	P	20000906		
	WO 2001-US42081	W	20010906		

OS MARPAT 136:247598
GI



I



II

AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted

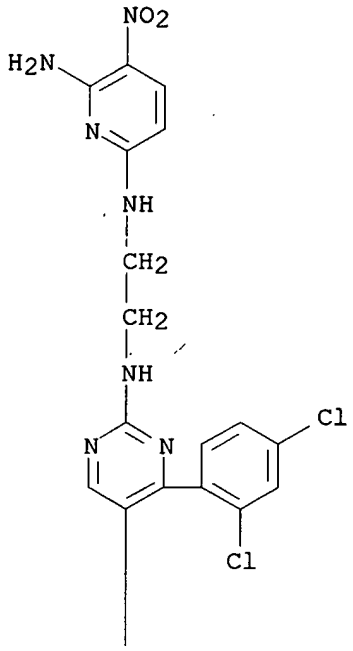
(hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidinyl, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO2, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidinyl, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.beta. in a cell free assay with IC50 values of < 1 .mu.M. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT **252917-04-7P**, 2,5-Pyrrolidinedione, 1-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-(2,4-dichlorophenyl)-5-pyrimidinyl]-3-(dimethylamino)-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

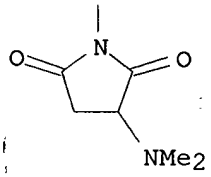
RN 252917-04-7 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-(2,4-dichlorophenyl)-5-pyrimidinyl]-3-(dimethylamino)- (9CI) (CA INDEX NAME)

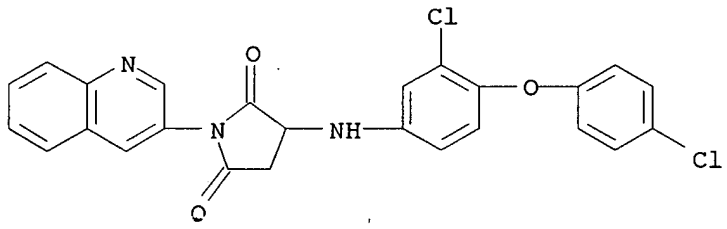
PAGE 1-A



PAGE 2-A

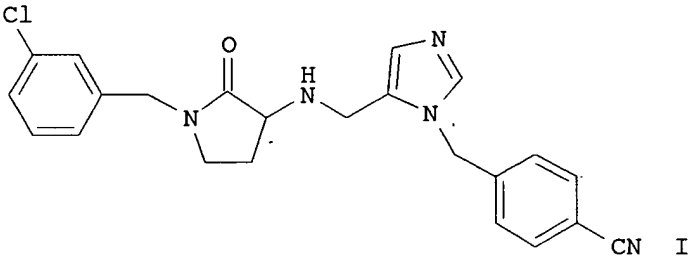


L9 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2001:808803 CAPLUS
DN 136:232174
TI Synthesis of N-alkyl/aryl/heteroaryl-4-[4'-(4"-chlorophenoxy)-3'-chloroanilino]succinimides as antimicrobial and antifungal agents
AU Lokhande, Tushar N.; Nadkarni, Bharati A.; Khadse, Barsu G.
CS Department of Chemistry, Haffkine Institute for Training, Research and Testing, Mumbai, 400 012, India
SO Indian Journal of Heterocyclic Chemistry (2001), 11(1), 83-84
CODEN: IJCHEI; ISSN: 0971-1627
PB Prof. R. S. Varma
DT Journal
LA English
AB A series of N-alkyl/aryl and heteroaryl-4-[4'-(4"-chlorophenoxy)-3-chloroanilino]succinimides have been prepd. and screened for antimicrobial and antifungal activity in vitro.
IT **402922-16-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of [(chlorophenoxy)chloroanilino]succinimides as antimicrobial and antifungal agents)
RN 402922-16-1 CAPLUS
CN 2,5-Pyrrolidinedione, 3-[[3-chloro-4-(4-chlorophenoxy)phenyl]amino]-1-(3-quinolinyl)- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

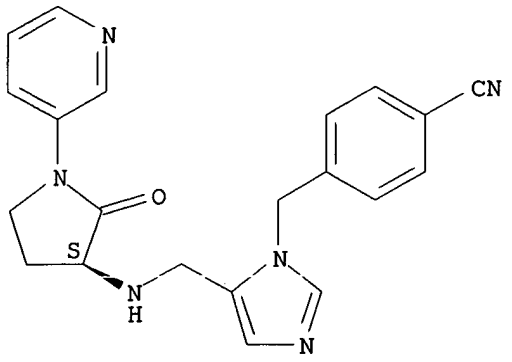
L9 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2001:551300 CAPLUS
DN 135:266642
TI Design and Biological Activity of (S)-4-(5-{[1-(3-Chlorobenzyl)-2-oxopyrrolidin-3-ylamino]methyl}imidazol-1-ylmethyl)benzonitrile, a 3-Aminopyrrolidinone Farnesyltransferase Inhibitor with Excellent Cell Potency
AU Bell, Ian M.; Gallicchio, Steven N.; Abrams, Marc; Beshore, Douglas C.; Buser, Carolyn A.; Culberson, J. Christopher; Davide, Joseph; Ellis-Hutchings, Michelle; Fernandes, Christine; Gibbs, Jackson B.; Graham, Samuel L.; Hartman, George D.; Heimbrook, David C.; Homnick, Carl F.; Huff, Joel R.; Kassahun, Kelem; Koblan, Kenneth S.; Kohl, Nancy E.; Lobell, Robert B.; Lynch, Joseph J.; Miller, Patricia A.; Omer, Charles A.; Rodrigues, A. David; Walsh, Eileen S.; Williams, Theresa M.
CS Departments of Medicinal Chemistry Cancer Research Molecular Systems Drug Metabolism and Pharmacology, Merck Research Laboratories, West Point, PA, 19486, USA
SO Journal of Medicinal Chemistry (2001), 44(18), 2933-2949
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI



I

AB The synthesis, structure-activity relationships, and biol. properties of a novel series of imidazole-contg. inhibitors of farnesyltransferase are described. Starting from a 3-aminopyrrolidinone core, a systematic series of modifications provided a non-thiol, non-peptide farnesyltransferase inhibitor (I) with excellent bioavailability in dogs. I was found to have an unusually favorable ratio of cell potency to intrinsic potency, compared with other known FTIs. It exhibited excellent potency against a range of tumor cell lines in vitro and showed full efficacy in the K-rasB transgenic mouse model.
IT **362690-80-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and structure-activity relations of aminopyrrolidinones as farnesyltransferase inhibitors with excellent antitumor potency)
RN 362690-80-0 CAPLUS
CN Benzonitrile, 4-[[5-[[[(3S)-2-oxo-1-(3-pyridinyl)-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

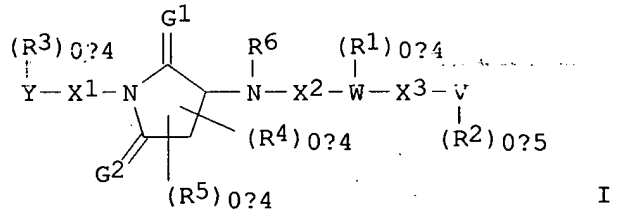
RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2001:185748 CAPLUS
DN 134:237476
TI 4-[[5-[[(Pyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitriles and analogs, useful as inhibitors of prenyl-protein transferase
IN Bell, Ian M.; Gallicchio, Steven N.; Beshore, Douglas C.; Lumma, William C., Jr.; Sisko, John T.; Zartman, C. Blair
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 324 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

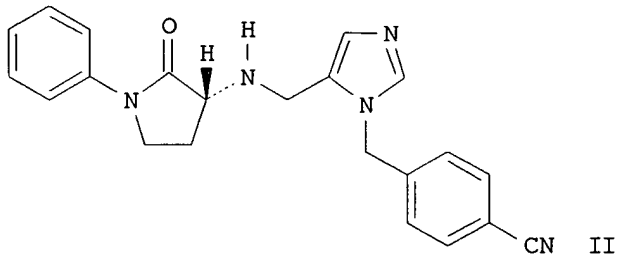
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001017992	A1	20010315	WO 2000-US24542	20000907

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-152989P P 19990909
OS MARPAT 134:237476
GI



I



II

AB The invention is directed to compds. which inhibit prenyl-protein transferase and the prenylation of the oncogene protein Ras. More specifically, the invention discloses compds. which are inhibitors of

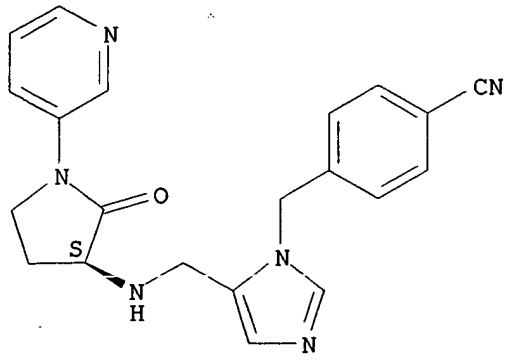
farnesyl-protein transferase (FPTase) and geranylgeranyl-protein transferase (GGTase), and which are useful in the treatment of proliferative diseases such as cancer. In particular, compds. I are claimed [wherein: X1 = (un)substituted (CH2)0-6A1(CH2)0-6A2; X2 = (un)substituted (CH2)0-6A3(CH2)0-6; X3 = (un)substituted (CH2)0-6A4(CH2)0-6; A1, A3, A4 = bond, CO, CH:CH, C.tplbond.C, O, S(O)0-2, (un)substituted NH, NHCO, CONH, OCONH, NHCOO, COO, OCO; A2 = bond, CO, (un)substituted NHCO, S(O)0-2, OCO; R1-R6 = H, various substituents; G1, G2 = O, H2; V = H, heterocyclyl, aryl, (hetero)alkyl, alkenyl (provided V .noteq. H when A4 = S(O)0-2 and q = 0); W = heterocyclyl; Y = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl]. The invention is further directed to chemotherapeutic compns. contg. I, and methods for inhibiting prenyl-protein transferase and the prenylation of the oncogene protein Ras. Approx. 175 synthetic examples are given. For instance, invention compd. II (1.4 HCl salt) was prepd. by a multi-step synthesis culminating in the reductive coupling of (R)-3-amino-2-oxo-1-phenylpyrrolidine with 1-(4-cyanobenzyl)-5-imidazolecarboxaldehyde using NaBH3CN and AcOH in MeOH. I had IC50 values .ltoreq. 10 .mu.M for inhibition of human FPTase in vitro.

IT **330184-90-2P**, (S)-4-[[5-[[(2-Oxo-1-pyridin-3-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile hydrochloride **330184-91-3P**, (S)-4-[[5-[[(2-Oxo-1-pyrazin-2-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile **330184-92-4P**, (S)-4-[[5-[[(2-Oxo-1-pyrazin-2-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile trifluoroacetate **330186-44-2P**, (R)-4-[[5-[[(2-Oxo-1-pyridin-3-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile **330186-45-3P**, (S)-4-[[5-[[(2-Oxo-1-pyridin-3-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile **330186-46-4P**, (R)-4-[[5-[[(2-Oxo-1-pyrazin-2-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of [[[[(pyrrolidinyl)amino]methyl]imidazolyl]methyl]benzonitriles and analogs as inhibitors of prenyl-protein transferase)

RN 330184-90-2 CAPLUS

CN Benzonitrile, 4-[[5-[[[(3S)-2-oxo-1-(3-pyridinyl)-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

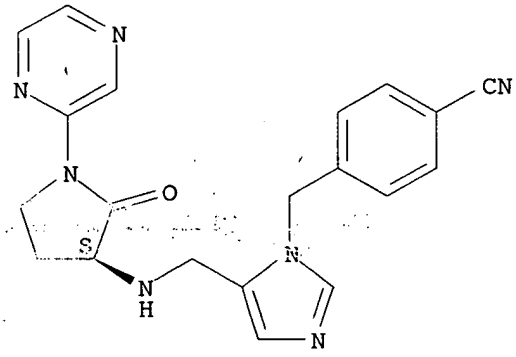
Absolute stereochemistry.



●3 HCl

RN 330184-91-3 CAPLUS
CN Benzonitrile, 4-[[5-[[[(3S)-2-oxo-1-pyrazinyl-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

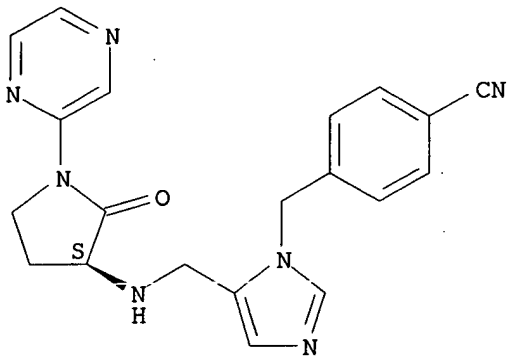


RN 330184-92-4 CAPLUS
CN Benzonitrile, 4-[[5-[[[(3S)-2-oxo-1-pyrazinyl-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

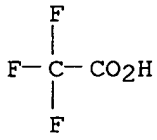
CRN 330184-91-3
CMF C20 H19 N7 O

Absolute stereochemistry.



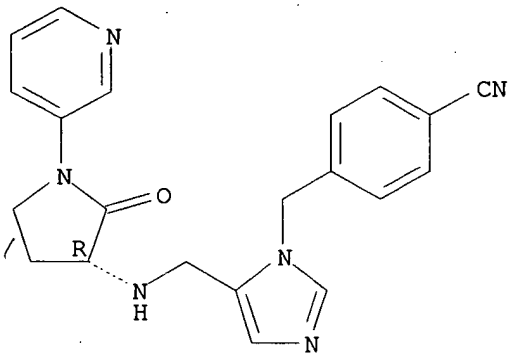
CM 2

CRN 76-05-1
CMF C2 H F3 O2



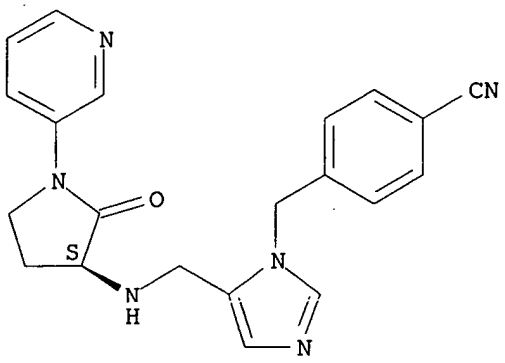
RN 330186-44-2 CAPLUS
CN Benzonitrile, 4-[[5-[[[(3R)-2-oxo-1-(3-pyridinyl)-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



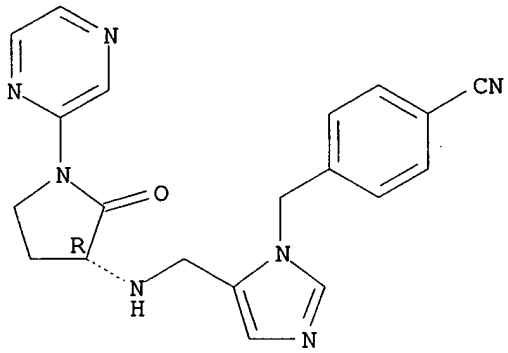
RN 330186-45-3 CAPLUS
CN Benzonitrile, 4-[[5-[[[(3S)-2-oxo-1-(3-pyridinyl)-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 330186-46-4 CAPLUS
CN Benzonitrile, 4-[[5-[[[(3R)-2-oxo-1-pyrazinyl-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

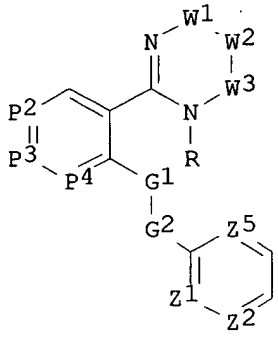


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

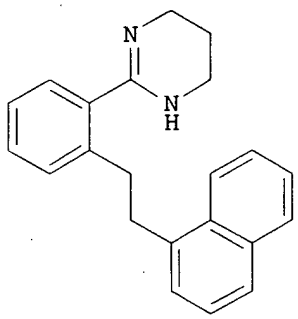
L9 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2001:115125 CAPLUS
DN 134:178566
TI Preparation of melanocortin-4 receptor binding compounds
IN Maguire, Martin P.; Dai, Mingshi; Vos, Tricia J.
PA Millennium Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001010842	A2	20010215	WO 2000-US21327	20000804
	WO 2001010842	A3	20010816		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1204645	A2	20020515	EP 2000-953837	20000804
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	BR 2000012984	A	20020716	BR 2000-12984	20000804
PRAI	US 1999-147288P	P	19990804		
	US 2000-223277P	P	20000803		
	WO 2000-US21327	W	20000804		

OS MARPAT 134:178566
GI



I



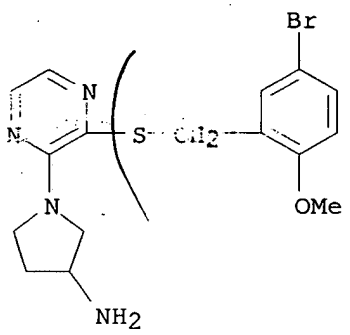
II

AB The title compds. of formula B-Z-E [wherein B = an anchor moiety; Z = a central moiety; E = an MC4-R interacting moiety], e.g. I [wherein P2, P3, and P4 = independently CH, CF, CCl, CBr, C(alkyl), C(alkoxy), C(CN), C(OH), or CI; W1 = covalent bond or CH2; W2 = CH2, CHR3, or CR3R4; W3 = CH2, CHR5, or CR5R6; R = H or alkyl; Z1 = CH or covalently linked to Z2 to form a naphthyl ring; Z2 = CH, C(C.tplbond.CH), CCl, CBr, CI, CF, or

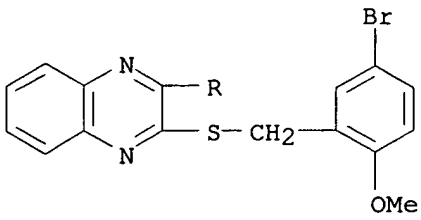
covalently linked to Z1 to form a naphthyl ring; Z5 = CH or C(OMe); R3-R6 = independently Me or Et], were prepd. and tested as melanocortin-4 receptor (MC4-R) binding agonists and antagonists. For example, .alpha.-tolunitrile in THF was added to a soln. of diisopropylamine in THF, which had been cooled to -78.degree.C and treated with BuLi. HMPA and 1-chloromethylnaphthalene in THF were added, the reaction cooled and stirred for 1 h, and the reaction quenched with H2O to give 2-(2-naphthalen-1-ylethyl)benzonitrile. Treatment with H2S and 1,3-diaminopropane, followed by heating to 80.degree.C for 72 h and work up, gave II. In a scincillation proximity assay (SPA) using high-throughput receptor binding screening, II showed exemplary inhibition of MC4-R. The invention compds., primarily 2-(2-arylalkylsulfanylphenyl)-4,5-dihydro-1H-imidazole and 1,4,5,6-tetrahydropyrimidine derivs., are useful in the treatment of disorders assocd. with wt. loss and pigmentation (no data).

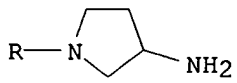
IT **325825-60-3P**, 1-[3-(5-Bromo-2-methoxybenzylsulfanyl)pyrazin-2-yl]pyrrolidin-3-ylamine **325825-83-0P**, 1-[3-(5-Bromo-2-methoxybenzylsulfanyl)quinoxalin-2-yl]pyrrolidin-3-ylamine **326482-53-5P 326482-54-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. and high throughput MC4-R receptor binding screening of arylalkylsulfanylphenyl-substituted imidazoles and pyrimidines and analogs)

RN 325825-60-3 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[[(5-bromo-2-methoxyphenyl)methyl]thio]pyrazinyl]- (9CI) (CA INDEX NAME)

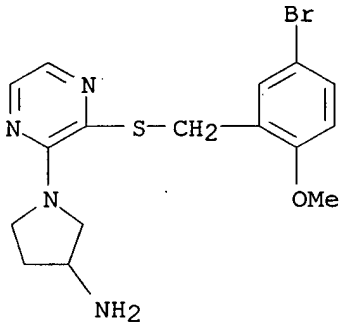


RN 325825-83-0 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[[(5-bromo-2-methoxyphenyl)methyl]thio]-2-quinoxalinyl]- (9CI) (CA INDEX NAME)



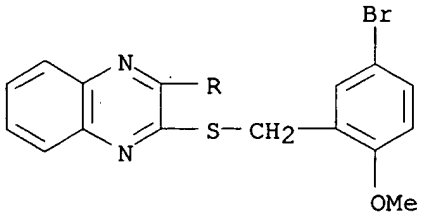


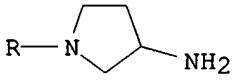
RN 326482-53-5 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[[(5-bromo-2-methoxyphenyl)methyl]thio]pyrazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 326482-54-6 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[[(5-bromo-2-methoxyphenyl)methyl]thio]-2-quinoxalinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



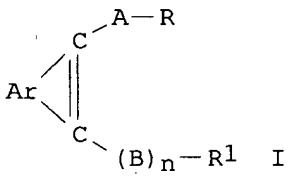


●2 HCl

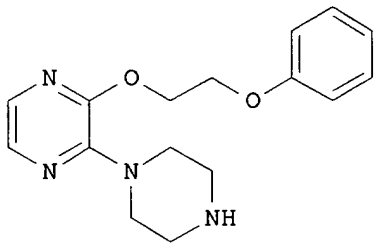
L9 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2000:900625 CAPLUS
DN 134:56689
TI Preparation of pyrazinyl phenoxyethyl ethers as 5-HT2C receptor modulators
IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias
PA Pharmacia & Upjohn AB, Swed.
SO PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076984	A2	20001221	WO 2000-SE1017	20000519
	WO 2000076984	A3	20010208		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1178973	A2	20020213	EP 2000-931877	20000519
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000010783	A	20020409	BR 2000-10783	20000519
	JP 2003502317	T2	20030121	JP 2001-503842	20000519
	NO 2001005686	A	20020115	NO 2001-5686	20011121
PRAI	SE 1999-1884	A	19990521		
	US 1999-137527P	P	19990603		
	WO 2000-SE1017	W	20000519		

OS MARPAT 134:56689
GI



I



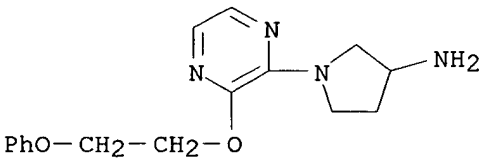
II

AB The title compds. (I) [wherein Ar = (un)substituted (hetero)aryl; A = O, S, SO2, NH, alkyl- or acyl-substituted N, or (un)satd., (un)substituted (hetero)alkylene chain which may contain a bridge to form a ring; B = CR4R5, OCR4R5, NR6CR4R5, NR6O, S, or SO2; R = (un)substituted cycloalkyl or (hetero)aryl; R1 = (un)satd. (amino)azacyclic or satd. (amino)diazacyclic, (amino)azabicyclic, or diazabicyclic ring, or

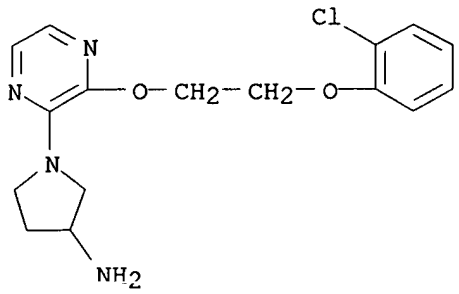
(CR4R5)xNR2aR3a; n = 0-1; R2a and R3a = independently H, Me, or Et, or taken together with the N to which they are bound form a pyrrolidine, piperazine, or morpholine ring; R4, R5, and R6 = independently H or alkyl; x = 2-4] and their pharmaceutically acceptable salts were prepd. and tested as 5-HT2C receptor modulators. Examples include 235 syntheses, a tablet formulation, and pharmacol. tests. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K2CO3 in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In an affinity assay using membranes prepd. from a transfected HEK293 cell line stably expressing the 5-HT2C receptor protein, I typically exhibited 5HT2C receptor affinity values (K1) ranging from 1 nM to 1500 nM. Specific values ranging from 5 nM to 377 nM were reported for 12 compds. Agonist efficacy at the 5-HT2C receptor for I were detd. by the ability of the compds. to mobilize intracellular Ca in transfected HEK293 cells, and typical max. responses of the agonists were in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related disorders, such as eating disorders, esp. obesity, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

IT **313654-42-1P**, 2-(Phenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether **313654-43-2P**, 2-(2-Chlorophenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether **313654-45-4P**, 2-(4-Chlorophenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hetercyclylpyrazinyl phenoxyethoxy ether 5-HT2C receptor modulators by coupling of phenoxyethanols with 2,3-dichloropyrazine followed by addn. of heterocycles)

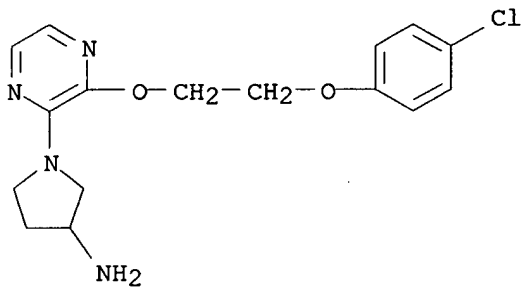
RN 313654-42-1 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-(2-phenoxyethoxy)pyrazinyl]- (9CI) (CA INDEX NAME)



RN 313654-43-2 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[2-(2-chlorophenoxy)ethoxy]pyrazinyl]- (9CI) (CA INDEX NAME)



RN 313654-45-4 CAPLUS
CN 3-Pyrrolidinamine, 1-[3-[2-(4-chlorophenoxy)ethoxy]pyrazinyl]- (9CI) (CA INDEX NAME)

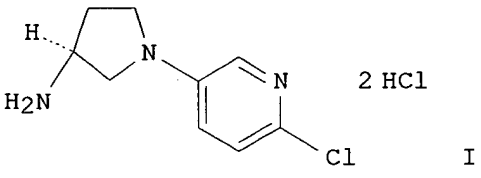


applicants

L9 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2000:842126 CAPLUS
DN 134:17404
TI Preparation of heterocyclic substituted aminoazacycles useful as central nervous system agents
IN Schrimpf, Michael R.; Sippy, Kevin B.; Daanen, Jerome F.; Ryther, Keith B.; Ji, Jianguo
PA Abbott Laboratories, USA
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000071534	A1	20001130	WO 2000-US13339	20000515
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1178982	A1	20020213	EP 2000-932445	20000515
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000007229	A	20020910	BR 2000-7229	20000515
	JP 2003500402	T2	20030107	JP 2000-619791	20000515
	NO 2001005669	A	20011123	NO 2001-5669	20011120
	BG 106192	A	20020830	BG 2001-106192	20011207
PRAI	US 1999-316707	A	19990521		
	US 2000-559881	A	20000426		
	WO 2000-US13339	W	20000515		

OS MARPAT 134:17404
GI



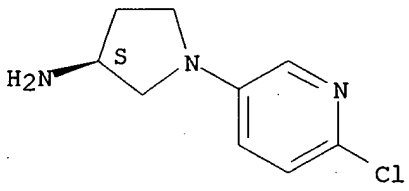
I

AB Title compds. [Z-R3, wherein Z is a defined aminoazacycle and R3 is a defined heterocycle moiety] and pharmaceutically acceptable salts are prepd. and pharmaceutical compns. of these compds., useful in controlling synaptic transmission in mammals, are claimed. Thus, the title compd. I was prepd. and tested, in vivo and in vitro, as nicotinic acetylcholine receptor.

IT **309958-66-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of heterocyclic substituted aminoazacycles useful as central nervous system agents)
RN 309958-66-5 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

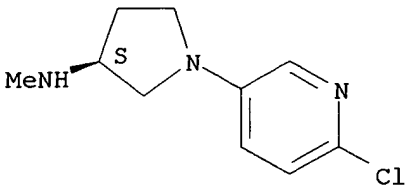
Absolute stereochemistry.



●2 HCl

IT **309958-65-4P 309958-67-6P 309958-68-7P**
309958-69-8P 309958-70-1P 309958-72-3P
309958-73-4P 309958-74-5P 309958-75-6P
309958-76-7P 309958-77-8P 309958-78-9P
309958-79-0P 309958-80-3P 309958-81-4P
309958-82-5P 309958-83-6P 309958-84-7P
309958-85-8P 309958-86-9P 309958-87-0P
309958-88-1P 309958-89-2P 309958-90-5P
309958-91-6P 309958-93-8P 309958-94-9P
309958-95-0P 309958-96-1P 309958-97-2P
309958-98-3P 309958-99-4P 309959-00-0P
309959-01-1P 309959-02-2P 309959-03-3P
309959-04-4P 309959-05-5P 309959-06-6P
309959-07-7P 309959-08-8P 309959-32-8P
309962-78-5P 309962-79-6P 309962-80-9P
309962-81-0P 309962-82-1P 309962-83-2P
309962-84-3P 309962-85-4P 309962-86-5P
309962-87-6P 309962-88-7P 309962-89-8P
309962-90-1P 309962-91-2P 309962-92-3P
309962-93-4P 309962-94-5P 309962-95-6P
309962-96-7P 309962-97-8P 309962-98-9P
309962-99-0P 309963-00-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic substituted aminoazacycles useful as central nervous system agents)
RN 309958-65-4 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N-methyl-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

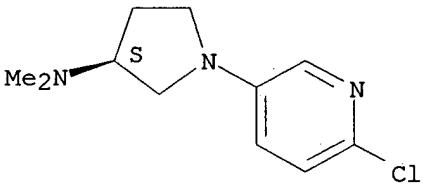
Absolute stereochemistry.



● HCl

RN 309958-67-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N,N-dimethyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

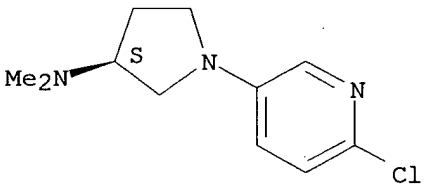


RN 309958-68-7 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N,N-dimethyl-, (3S)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

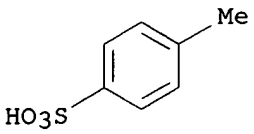
CRN 309958-67-6
CMF C11 H16 Cl N3

Absolute stereochemistry.



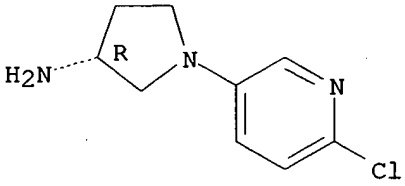
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



RN 309958-69-8 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

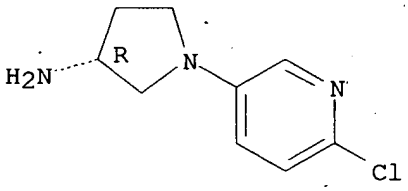


RN 309958-70-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-, (3R)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

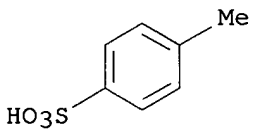
CRN 309958-69-8
CMF C9 H12 Cl N3

Absolute stereochemistry.



CM 2

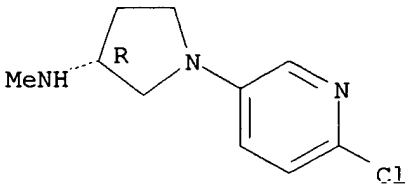
CRN 104-15-4
CMF C7 H8 O3 S



RN 309958-72-3 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N-methyl-, monohydrochloride,

(3R)- (9CI) (CA INDEX NAME)

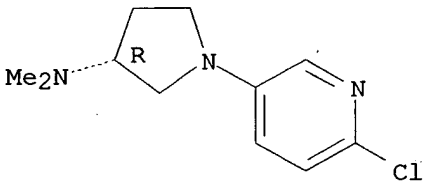
Absolute stereochemistry.



● HCl

RN 309958-73-4 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N,N-dimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

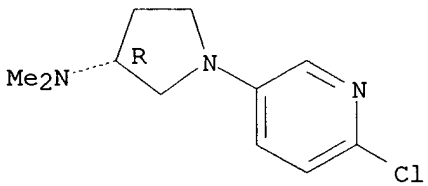


RN 309958-74-5 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N,N-dimethyl-, (3R)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

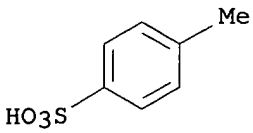
CRN 309958-73-4
CMF C11 H16 Cl N3

Absolute stereochemistry.

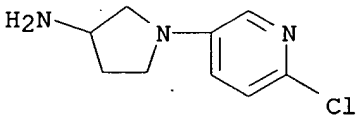


CM 2

CRN 104-15-4
CMF C7 H8 O3 S



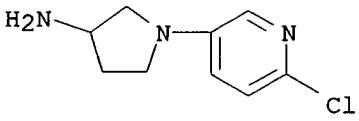
RN 309958-75-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 309958-76-7 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

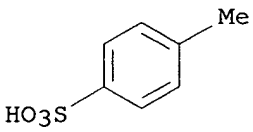
CM 1

CRN 309958-75-6
CMF C9 H12 Cl N3



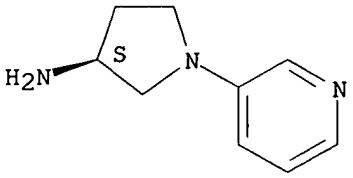
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



RN 309958-77-8 CAPLUS
CN 3-Pyrrolidinamine, 1-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

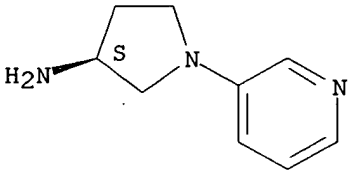


RN 309958-78-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(3-pyridinyl)-, (3S)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

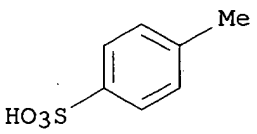
CRN 309958-77-8
CMF C9 H13 N3

Absolute stereochemistry.



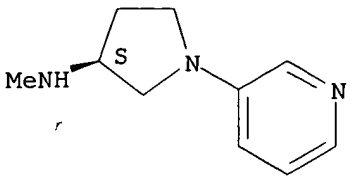
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



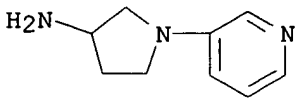
RN 309958-79-0 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-(3-pyridinyl)-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

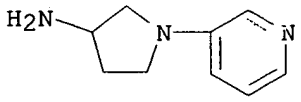
RN 309958-80-3 CAPLUS
CN 3-Pyrrolidinamine, 1-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 309958-81-4 CAPLUS
CN 3-Pyrrolidinamine, 1-(3-pyridinyl)-, bis(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

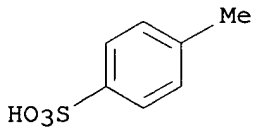
CM 1

CRN 309958-80-3
CMF C9 H13 N3



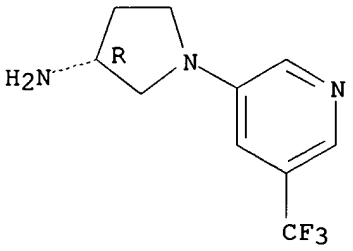
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



RN 309958-82-5 CAPLUS
CN 3-Pyrrolidinamine, 1-[5-(trifluoromethyl)-3-pyridinyl]-, dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

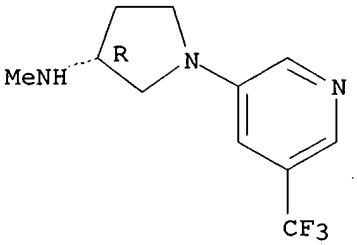
Absolute stereochemistry.



● 2 HCl

RN 309958-83-6 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-[5-(trifluoromethyl)-3-pyridinyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

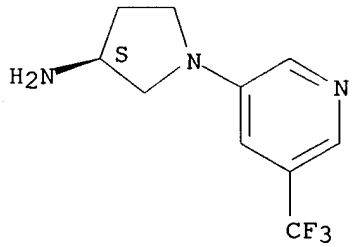
Absolute stereochemistry.



● HCl

RN 309958-84-7 CAPLUS
CN 3-Pyrrolidinamine, 1-[5-(trifluoromethyl)-3-pyridinyl]-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

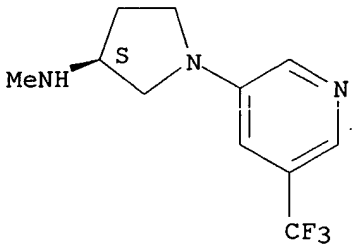
Absolute stereochemistry.



2 HCl

RN 309958-85-8 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-[5-(trifluoromethyl)-3-pyridinyl]-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

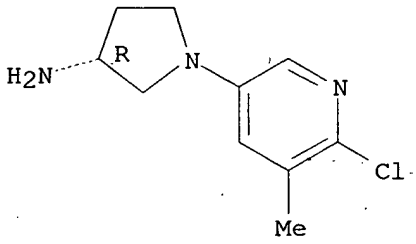
Absolute stereochemistry.



● HCl

RN 309958-86-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

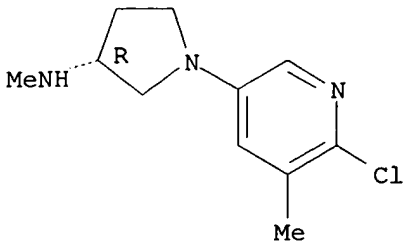
Absolute stereochemistry.



● HCl

RN 309958-87-0 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-N-methyl-, dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

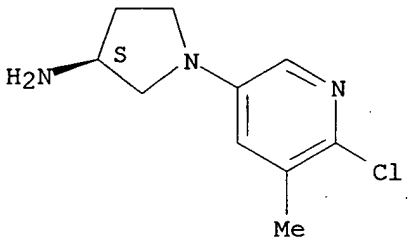
Absolute stereochemistry.



●2 HCl

RN 309958-88-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

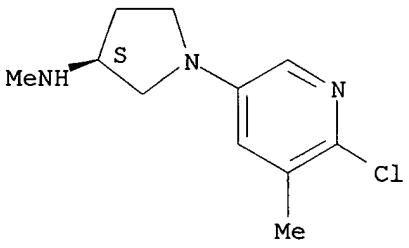
Absolute stereochemistry.



●2 HCl

RN 309958-89-2 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-N-methyl-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

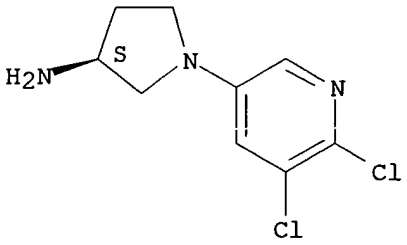
Absolute stereochemistry.



2 HCl

RN 309958-90-5 CAPLUS
CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-, monohydrochloride, (3S)-
(9CI) (CA INDEX NAME)

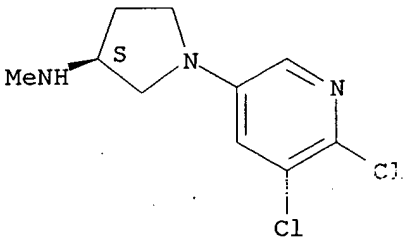
Absolute stereochemistry.



● HCl

RN 309958-91-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-N-methyl-,
monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

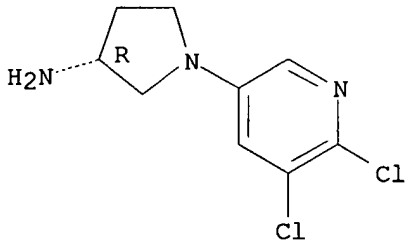
Absolute stereochemistry.



● HCl

RN 309958-93-8 CAPLUS
CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-, monohydrochloride, (3R)-
(9CI) (CA INDEX NAME)

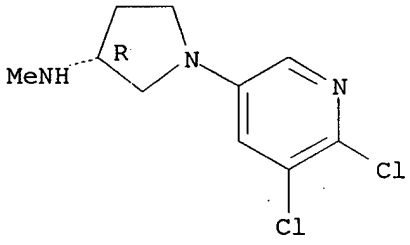
Absolute stereochemistry.



● HCl

RN 309958-94-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-N-methyl-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

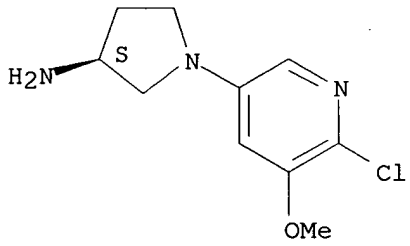
Absolute stereochemistry.



● HCl

RN 309958-95-0 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

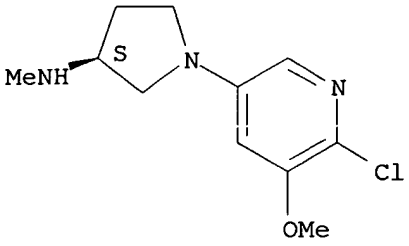
Absolute stereochemistry.



2 HCl

RN 309958-96-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-N-methyl-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

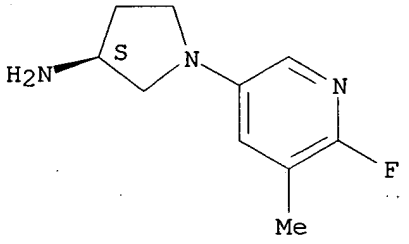
Absolute stereochemistry.



●2 HCl

RN 309958-97-2 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

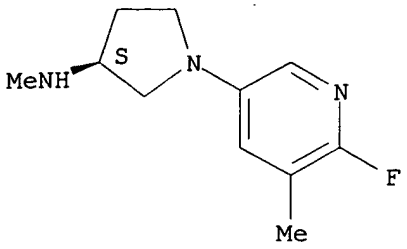
Absolute stereochemistry.



● HCl

RN 309958-98-3 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-N-methyl-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

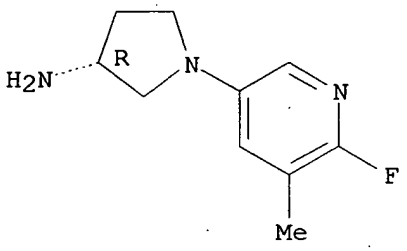
Absolute stereochemistry.



●2 HCl

RN 309958-99-4 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

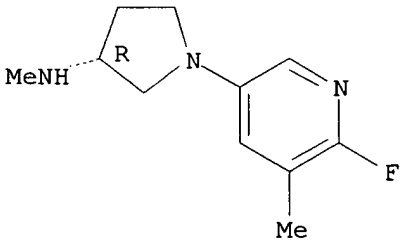
Absolute stereochemistry.



● HCl

RN 309959-00-0 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-N-methyl-, dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

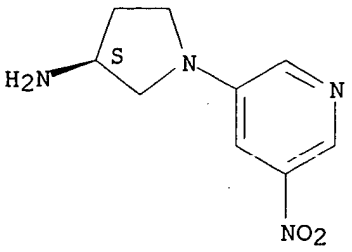
Absolute stereochemistry.



2 HCl

RN 309959-01-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-nitro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

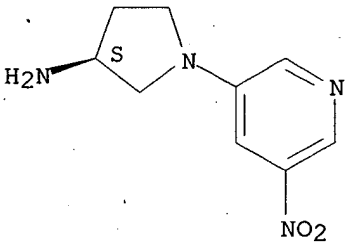


RN 309959-02-2 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-nitro-3-pyridinyl)-, (3S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 309959-01-1
CMF C9 H12 N4 O2

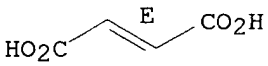
Absolute stereochemistry.



CM 2

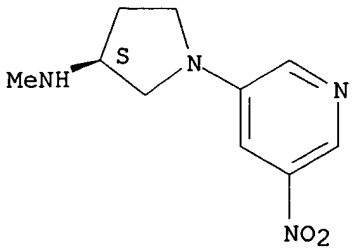
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 309959-03-3 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-(5-nitro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

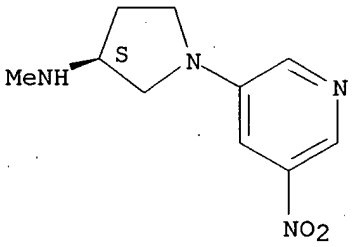


RN 309959-04-4 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-(5-nitro-3-pyridinyl)-, (3S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 309959-03-3
CMF C10 H14 N4 O2

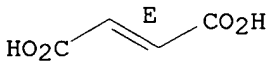
Absolute stereochemistry.



CM 2

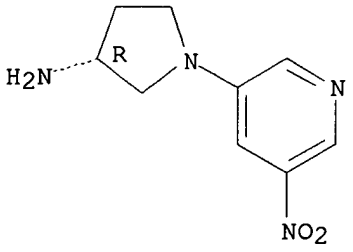
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 309959-05-5 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-nitro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

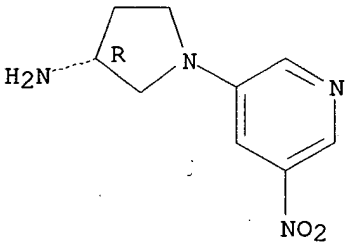


RN 309959-06-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-nitro-3-pyridinyl)-, (3R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 309959-05-5
CMF C9 H12 N4 O2

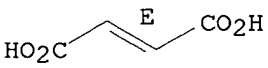
Absolute stereochemistry.



CM 2

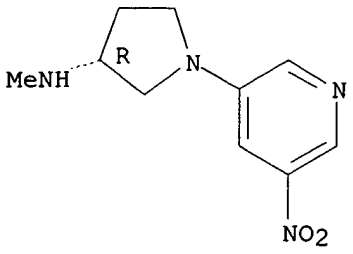
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 309959-07-7 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-(5-nitro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

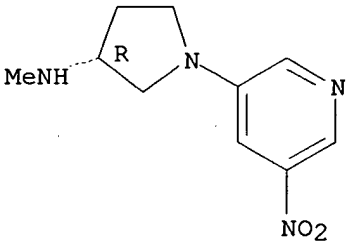


RN 309959-08-8 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-(5-nitro-3-pyridinyl)-, (3R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 309959-07-7
CMF C10 H14 N4 O2

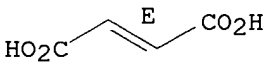
Absolute stereochemistry.



CM 2

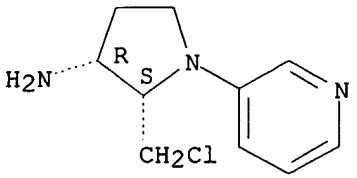
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 309959-32-8 CAPLUS
CN 3-Pyrrolidinamine, 2-(chloromethyl)-1-(3-pyridinyl)-, dihydrochloride, (2S,3R)- (9CI) (CA INDEX NAME)

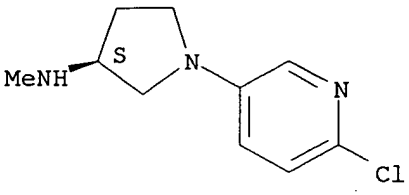
Absolute stereochemistry.



●2 HCl

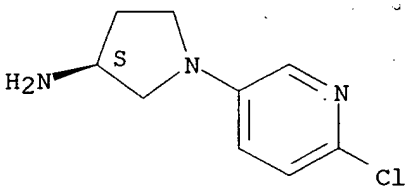
RN 309962-78-5 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



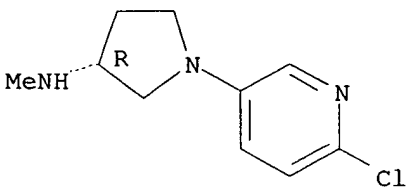
RN 309962-79-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



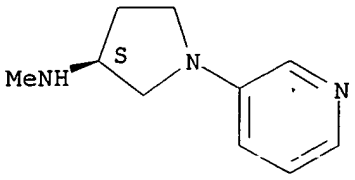
RN 309962-80-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



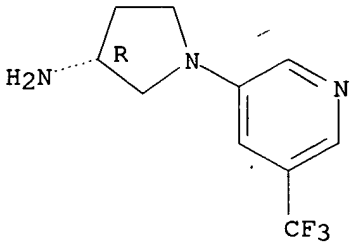
RN 309962-81-0 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



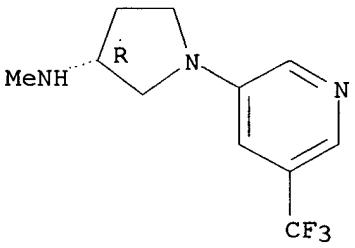
RN 309962-82-1 CAPLUS
CN 3-Pyrrolidinamine, 1-[5-(trifluoromethyl)-3-pyridinyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



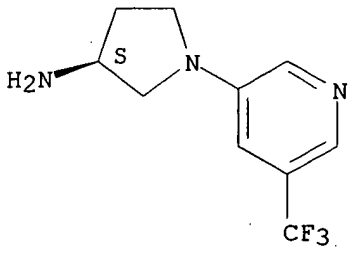
RN 309962-83-2 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-[5-(trifluoromethyl)-3-pyridinyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



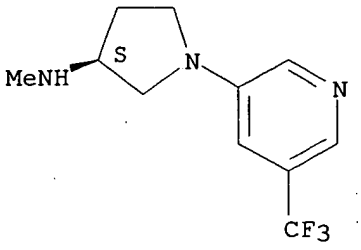
RN 309962-84-3 CAPLUS
CN 3-Pyrrolidinamine, 1-[5-(trifluoromethyl)-3-pyridinyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



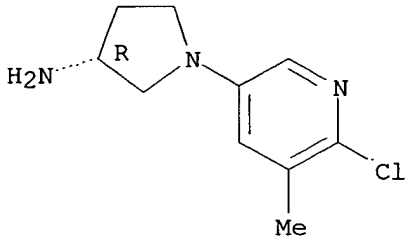
RN 309962-85-4 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-[5-(trifluoromethyl)-3-pyridinyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



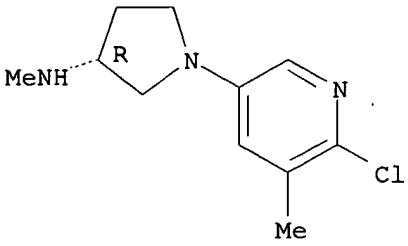
RN 309962-86-5 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



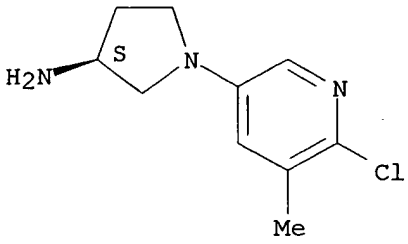
RN 309962-87-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



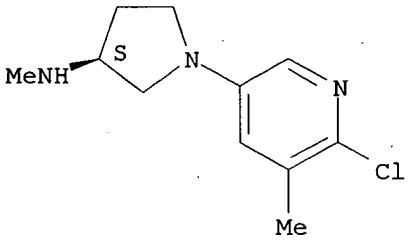
RN 309962-88-7 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



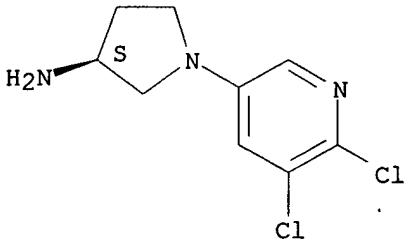
RN 309962-89-8 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



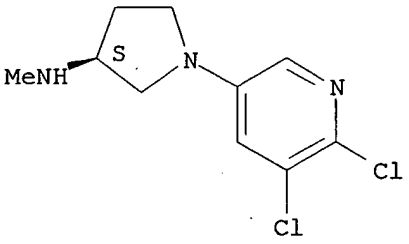
RN 309962-90-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



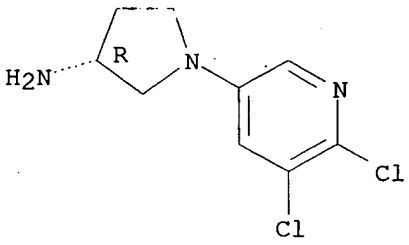
RN 309962-91-2 CAPLUS
CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



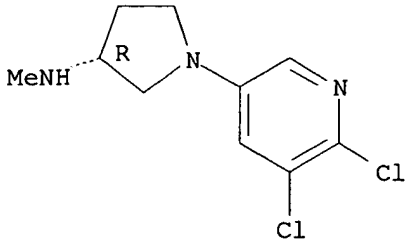
RN 309962-92-3 CAPLUS
CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



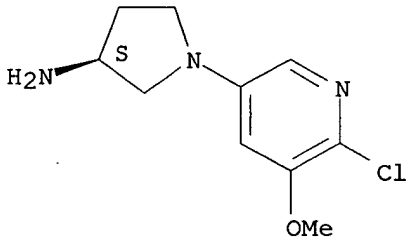
RN 309962-93-4 CAPLUS
CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



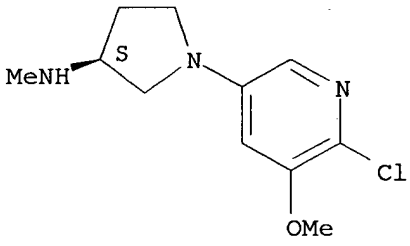
RN 309962-94-5 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



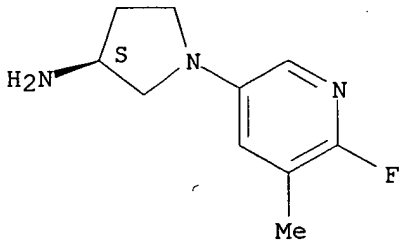
RN 309962-95-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



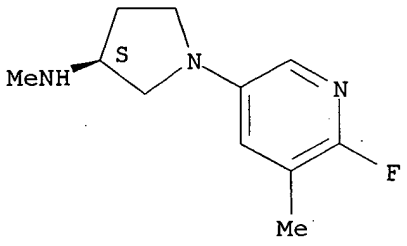
RN 309962-96-7 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



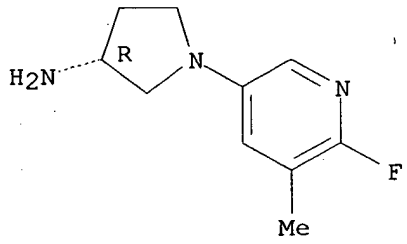
RN 309962-97-8 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



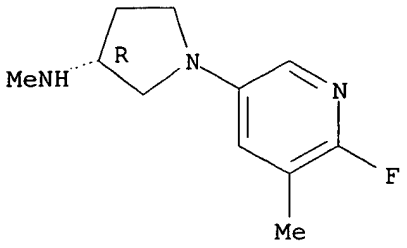
RN 309962-98-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



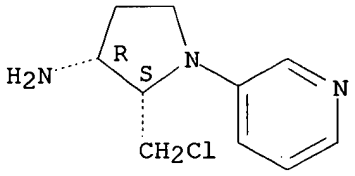
RN 309962-99-0 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 309963-00-6 CAPLUS
CN 3-Pyrrolidinamine, 2-(chloromethyl)-1-(3-pyridinyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

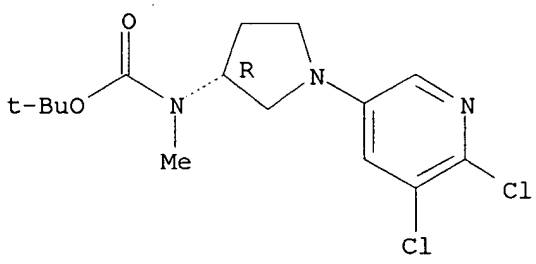
Absolute stereochemistry.



IT **309959-09-9P 309962-31-0P 309962-32-1P**
309962-33-2P 309962-34-3P 309962-35-4P
309962-36-5P 309962-37-6P 309962-38-7P
309962-39-8P 309962-40-1P 309962-41-2P
309962-42-3P 309962-43-4P 309962-44-5P
309962-45-6P 309962-46-7P 309962-47-8P
309962-48-9P 309962-49-0P 309962-50-3P
309962-51-4P 309962-52-5P 309962-53-6P
309962-54-7P 309962-55-8P 309962-56-9P
309962-57-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic substituted aminoazacycles useful as central nervous system agents)

RN 309959-09-9 CAPLUS
CN Carbamic acid, [(3R)-1-(5,6-dichloro-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

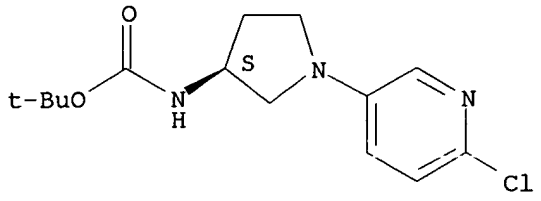
Absolute stereochemistry.



RN 309962-31-0 CAPLUS

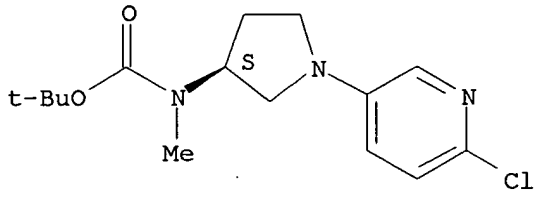
CN Carbamic acid, [(3S)-1-(6-chloro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



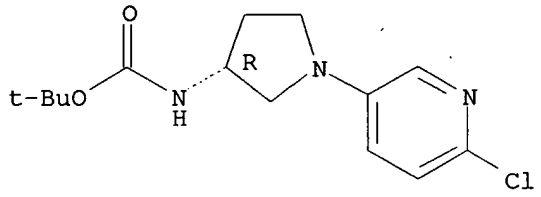
RN 309962-32-1 CAPLUS
CN Carbamic acid, [(3S)-1-(6-chloro-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



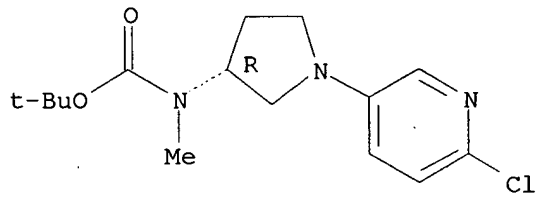
RN 309962-33-2 CAPLUS
CN Carbamic acid, [(3R)-1-(6-chloro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

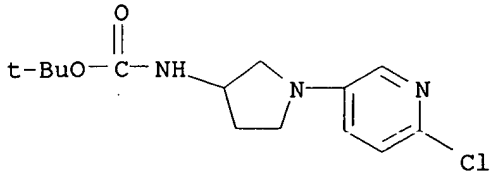


RN 309962-34-3 CAPLUS
CN Carbamic acid, [(3R)-1-(6-chloro-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

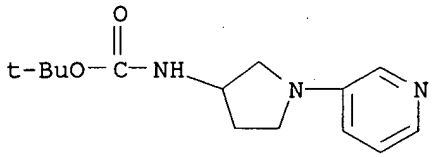
Absolute stereochemistry.



RN 309962-35-4 CAPLUS
CN Carbamic acid, [1-(6-chloro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

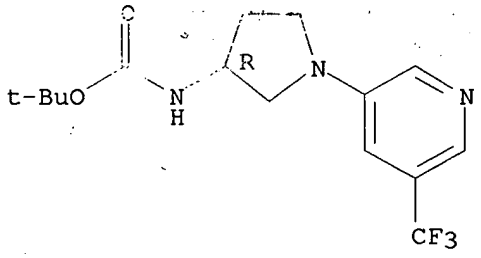


RN 309962-36-5 CAPLUS
CN Carbamic acid, [1-(3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



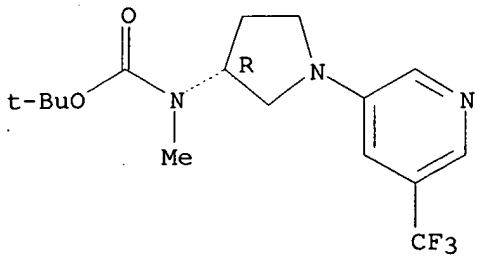
RN 309962-37-6 CAPLUS
CN Carbamic acid, [(3R)-1-[5-(trifluoromethyl)-3-pyridinyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



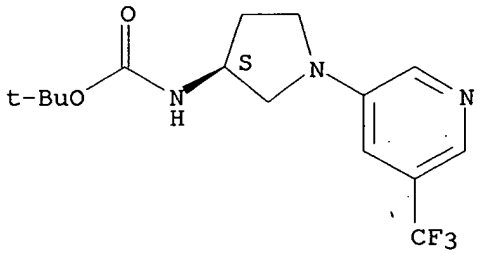
RN 309962-38-7 CAPLUS
CN Carbamic acid, methyl[(3R)-1-[5-(trifluoromethyl)-3-pyridinyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



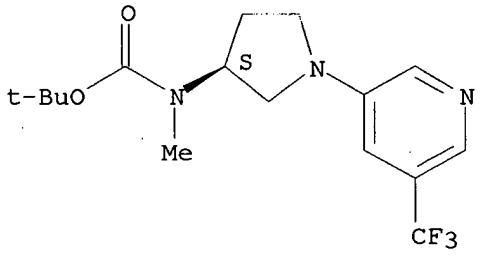
RN 309962-39-8 CAPLUS
CN Carbamic acid, [(3S)-1-[5-(trifluoromethyl)-3-pyridinyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



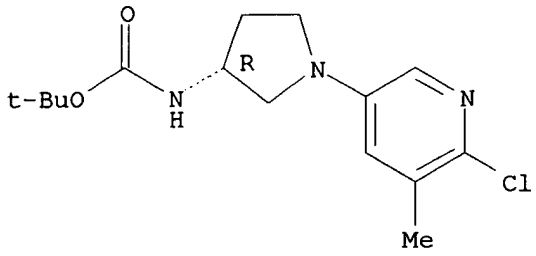
RN 309962-40-1 CAPLUS
CN Carbamic acid, methyl[(3S)-1-[5-(trifluoromethyl)-3-pyridinyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



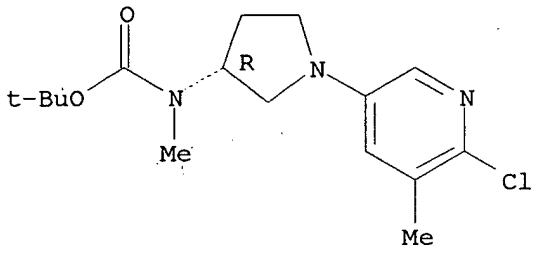
RN 309962-41-2 CAPLUS
CN Carbamic acid, [(3R)-1-(6-chloro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



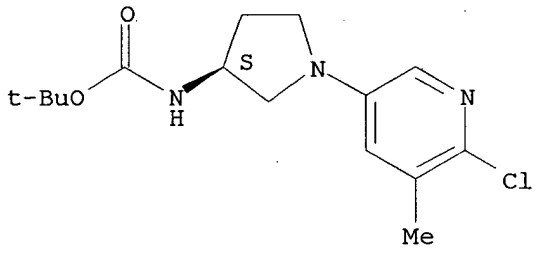
RN 309962-42-3 CAPLUS
CN Carbamic acid, [(3R)-1-(6-chloro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



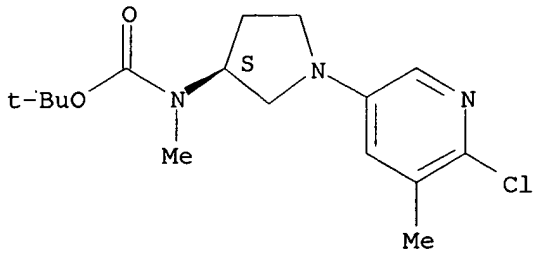
RN 309962-43-4 CAPLUS
CN Carbamic acid, [(3S)-1-(6-chloro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



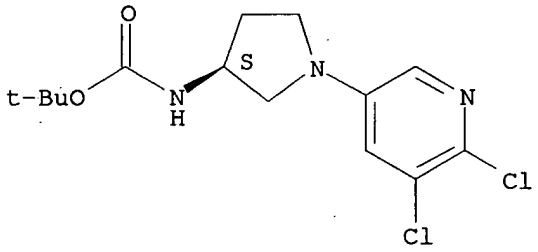
RN 309962-44-5 CAPLUS
CN Carbamic acid, [(3S)-1-(6-chloro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



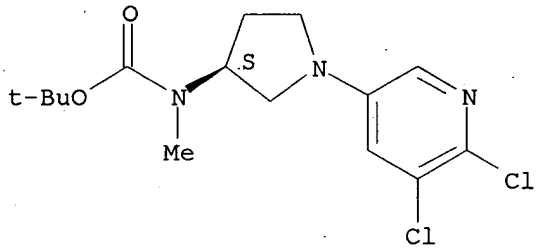
RN 309962-45-6 CAPLUS
CN Carbamic acid, [(3S)-1-(5,6-dichloro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



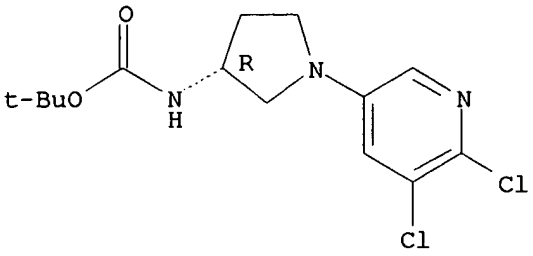
RN 309962-46-7 CAPLUS
CN Carbamic acid, [(3S)-1-(5,6-dichloro-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



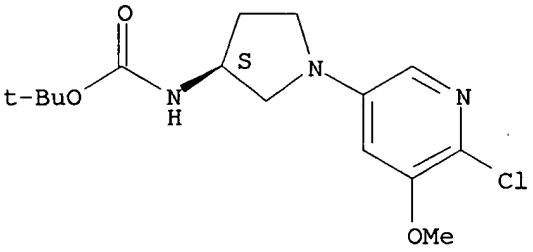
RN 309962-47-8 CAPLUS
CN Carbamic acid, [(3R)-1-(5,6-dichloro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



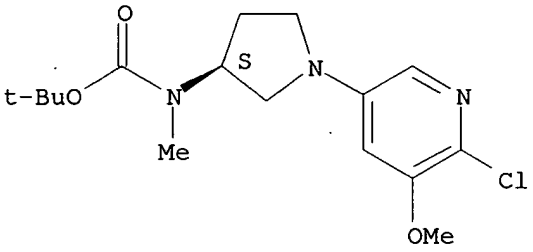
RN 309962-48-9 CAPLUS
CN Carbamic acid, [(3S)-1-(6-chloro-5-methoxy-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



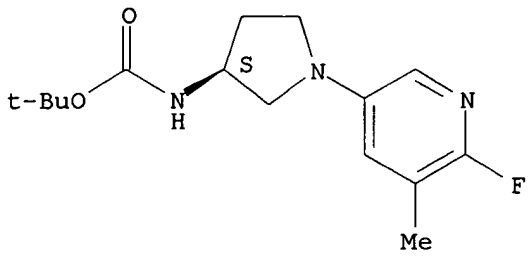
RN 309962-49-0 CAPLUS
CN Carbamic acid, [(3S)-1-(6-chloro-5-methoxy-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



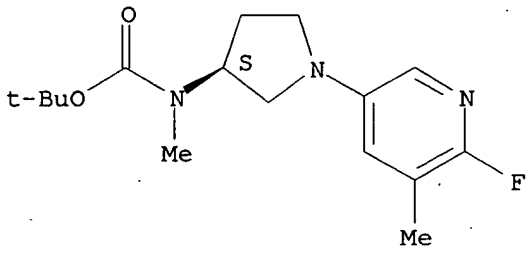
RN 309962-50-3 CAPLUS
CN Carbamic acid, [(3S)-1-(6-fluoro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



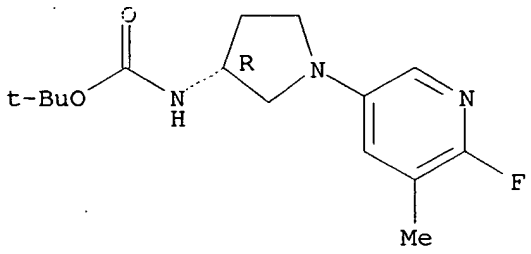
RN 309962-51-4 CAPLUS
CN Carbamic acid, [(3S)-1-(6-fluoro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



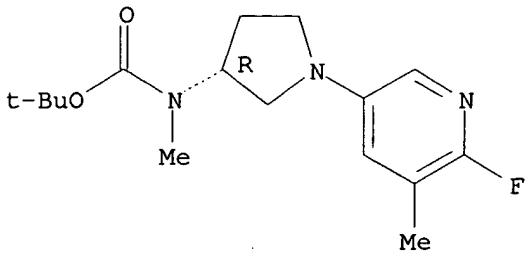
RN 309962-52-5 CAPLUS
CN Carbamic acid, [(3R)-1-(6-fluoro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



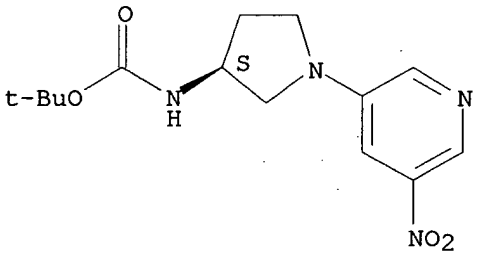
RN 309962-53-6 CAPLUS
CN Carbamic acid, [(3R)-1-(6-fluoro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



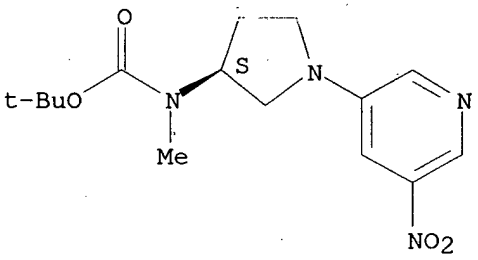
RN 309962-54-7 CAPLUS
CN Carbamic acid, [(3S)-1-(5-nitro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



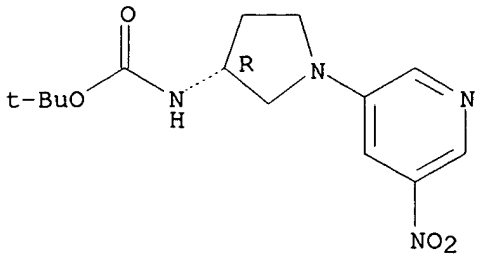
RN 309962-55-8 CAPLUS
CN Carbamic acid, methyl[(3S)-1-(5-nitro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



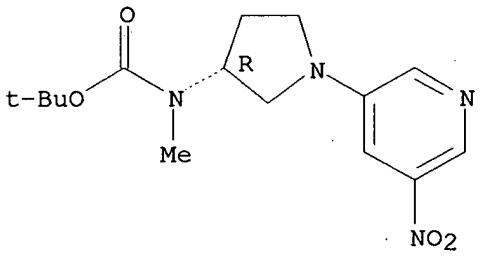
RN 309962-56-9 CAPLUS
CN Carbamic acid, [(3R)-1-(5-nitro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



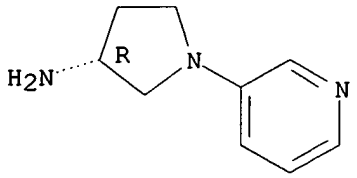
RN 309962-57-0 CAPLUS
CN Carbamic acid, methyl[(3R)-1-(5-nitro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



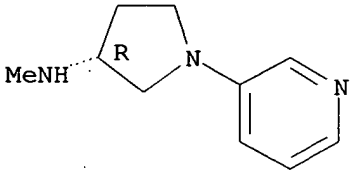
IT **309959-34-0P 309959-43-1P 309959-51-1P**
309959-60-2P 309959-66-8P 309959-72-6P
309959-77-1P 309959-85-1P 309959-90-8P
309959-95-3P 309959-99-7P 309960-02-9P
309960-04-1P 309960-06-3P 309960-08-5P
309960-10-9P 309960-12-1P 309960-13-2P
309960-14-3P 309960-15-4P 309960-16-5P
309960-17-6P 309960-18-7P 309960-19-8P
309960-20-1P 309960-21-2P 309960-22-3P
309960-23-4P 309960-24-5P 309960-25-6P
309960-26-7P 309960-27-8P 309960-28-9P
309960-29-0P 309960-30-3P 309960-31-4P
309960-32-5P 309960-33-6P 309960-34-7P
309960-35-8P 309960-36-9P 309960-37-0P
309960-38-1P 309960-39-2P 309960-40-5P
309960-41-6P 309960-42-7P 309960-43-8P
309960-44-9P 309960-45-0P 309960-46-1P
309960-47-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic substituted aminoazacycles useful as central nervous system agents)
RN 309959-34-0 CAPLUS
CN 3-Pyrrolidinamine, 1-(3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



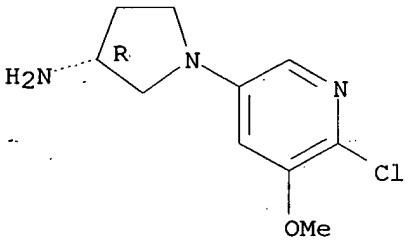
RN 309959-43-1 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-(3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



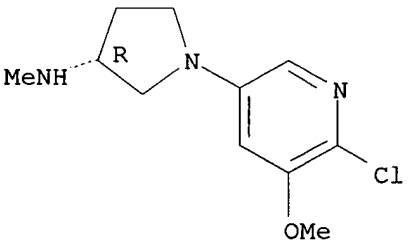
RN 309959-51-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 309959-60-2 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

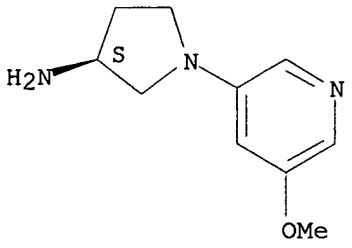
Absolute stereochemistry.



RN 309959-66-8 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-methoxy-3-pyridinyl)-, (3S)- (9CI) (CA INDEX

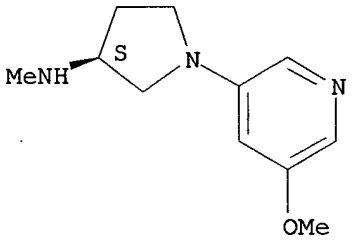
NAME)

Absolute stereochemistry.



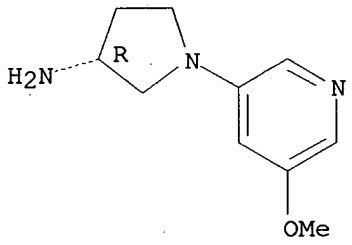
RN 309959-72-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-methoxy-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



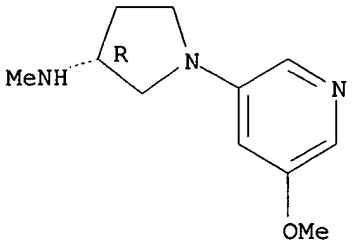
RN 309959-77-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-methoxy-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



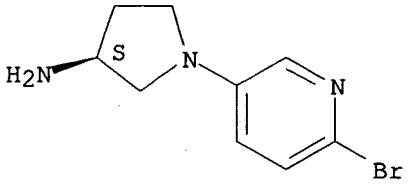
RN 309959-85-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-methoxy-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



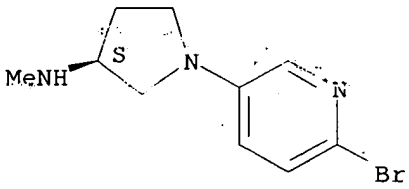
RN 309959-90-8 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



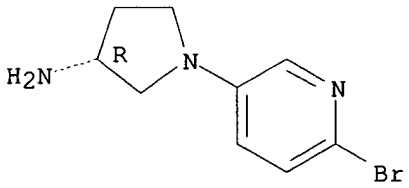
RN 309959-95-3 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



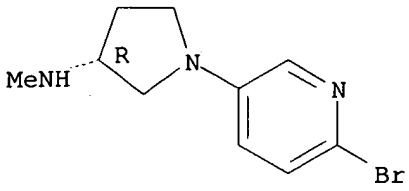
RN 309959-99-7 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



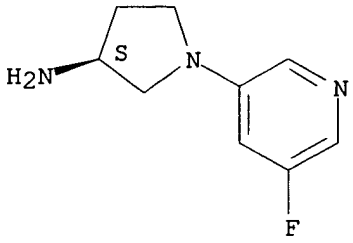
RN 309960-02-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



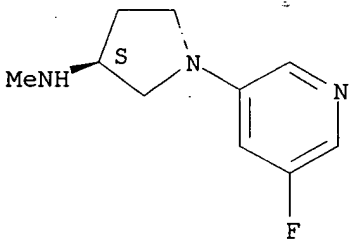
RN 309960-04-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-fluoro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



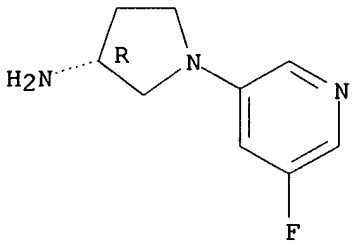
RN 309960-06-3 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-fluoro-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



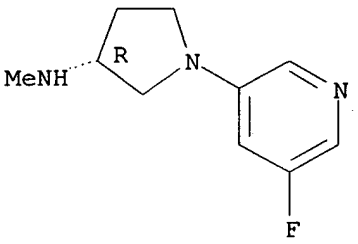
RN 309960-08-5 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-fluoro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



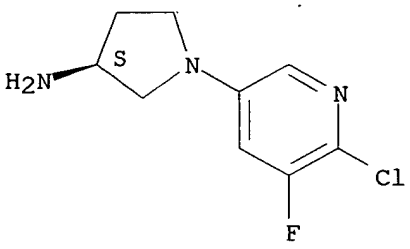
RN 309960-10-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-fluoro-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



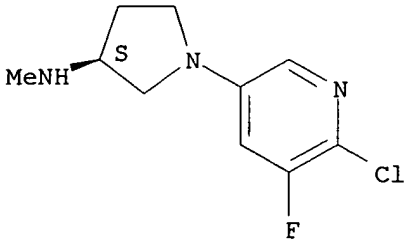
RN 309960-12-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-fluoro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



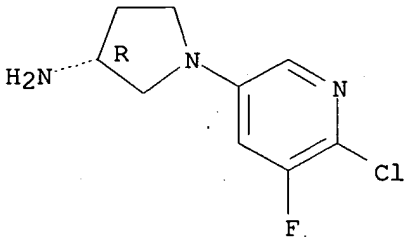
RN 309960-13-2 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-fluoro-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



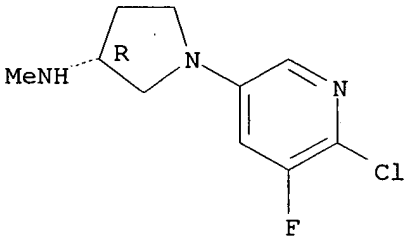
RN 309960-14-3 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-fluoro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



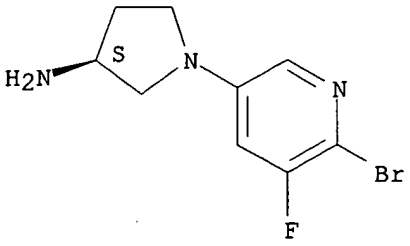
RN 309960-15-4 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-fluoro-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



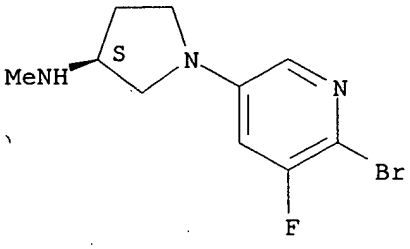
RN 309960-16-5 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-fluoro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



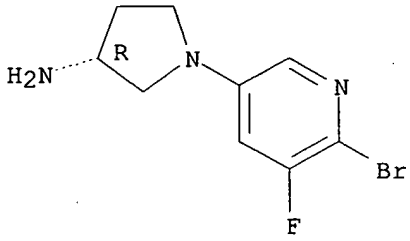
RN 309960-17-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-fluoro-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



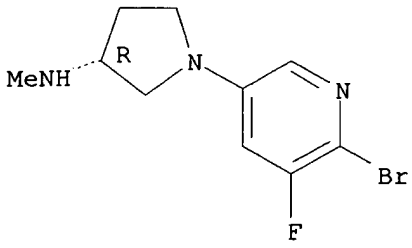
RN 309960-18-7 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-fluoro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



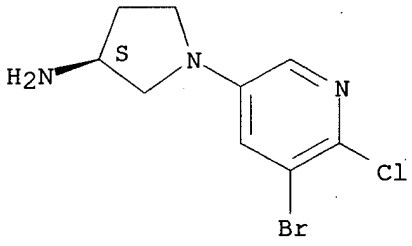
RN 309960-19-8 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-fluoro-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



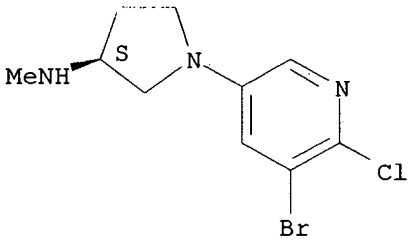
RN 309960-20-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-bromo-6-chloro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



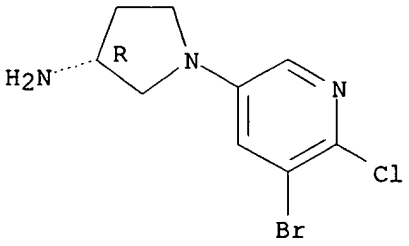
RN 309960-21-2 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-bromo-6-chloro-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



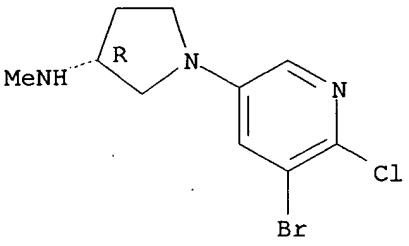
RN 309960-22-3 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-bromo-6-chloro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



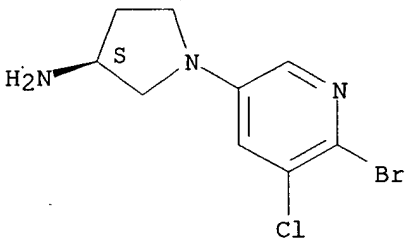
RN 309960-23-4 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-bromo-6-chloro-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



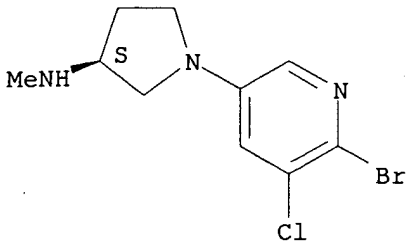
RN 309960-24-5 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-chloro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



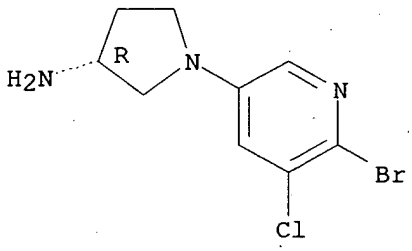
RN 309960-25-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-chloro-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



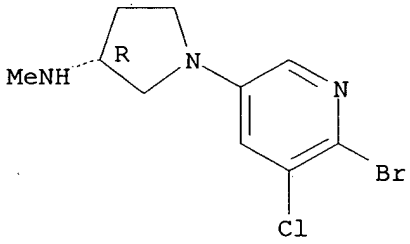
RN 309960-26-7 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-chloro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



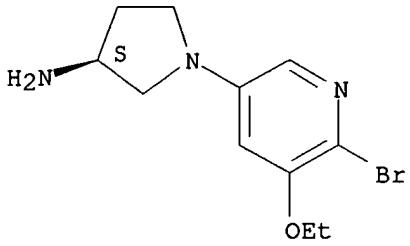
RN 309960-27-8 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-chloro-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



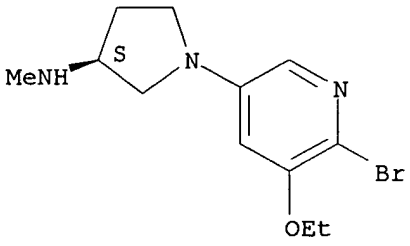
RN 309960-28-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-ethoxy-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



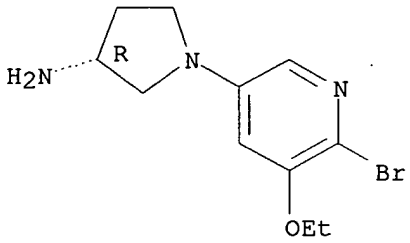
RN 309960-29-0 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-ethoxy-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



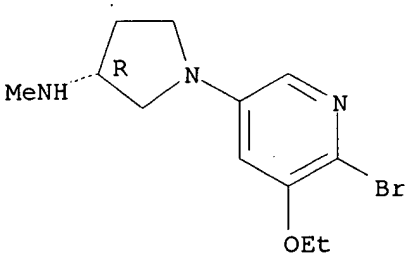
RN 309960-30-3 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-ethoxy-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



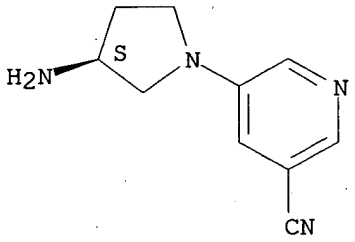
RN 309960-31-4 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-5-ethoxy-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



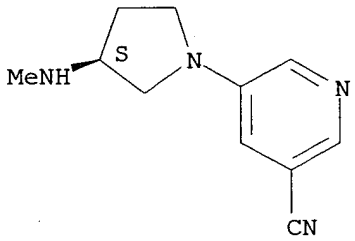
RN 309960-32-5 CAPLUS
CN 3-Pyridinecarbonitrile, 5-[(3S)-3-amino-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



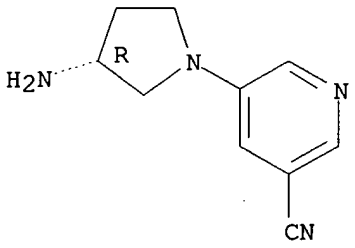
RN 309960-33-6 CAPLUS
CN 3-Pyridinecarbonitrile, 5-[(3S)-3-(methylamino)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



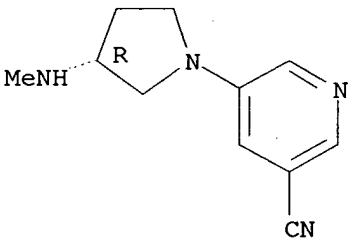
RN 309960-34-7 CAPLUS
CN 3-Pyridinecarbonitrile, 5-[(3R)-3-amino-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



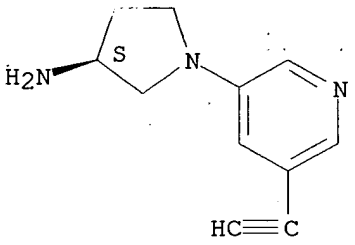
RN 309960-35-8 CAPLUS
CN 3-Pyridinecarbonitrile, 5-[(3R)-3-(methylamino)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



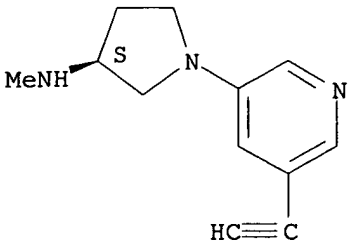
RN 309960-36-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-ethynyl-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



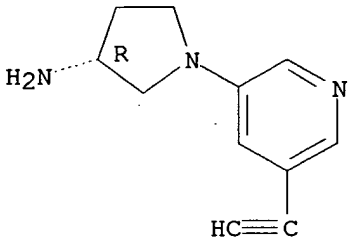
RN 309960-37-0 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-ethynyl-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



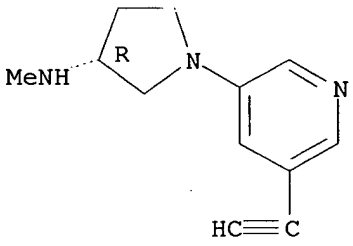
RN 309960-38-1 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-ethynyl-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



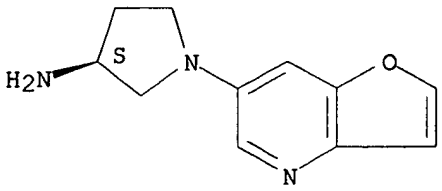
RN 309960-39-2 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-ethynyl-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



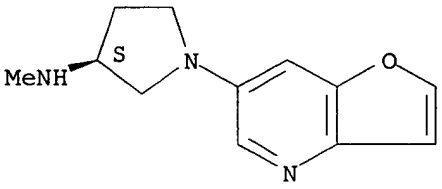
RN 309960-40-5 CAPLUS
CN 3-Pyrrolidinamine, 1-furo[3,2-b]pyridin-6-yl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



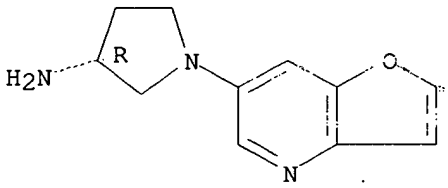
RN 309960-41-6 CAPLUS
CN 3-Pyrrolidinamine, 1-furo[3,2-b]pyridin-6-yl-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



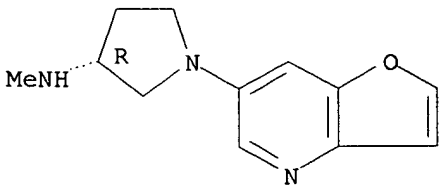
RN 309960-42-7 CAPLUS
CN 3-Pyrrolidinamine, 1-furo[3,2-b]pyridin-6-yl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

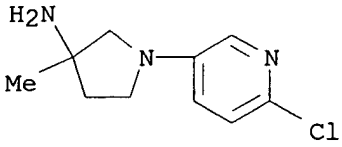


RN 309960-43-8 CAPLUS
CN 3-Pyrrolidinamine, 1-furo[3,2-b]pyridin-6-yl-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

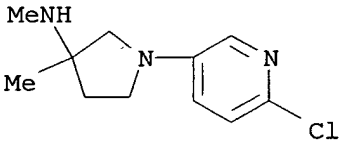
Absolute stereochemistry.



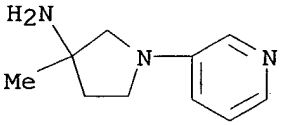
RN 309960-44-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-3-methyl- (9CI) (CA INDEX NAME)



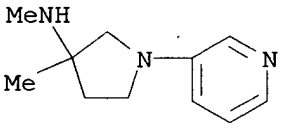
RN 309960-45-0 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N,3-dimethyl- (9CI) (CA INDEX NAME)



RN 309960-46-1 CAPLUS
CN 3-Pyrrolidinamine, 3-methyl-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 309960-47-2 CAPLUS
CN 3-Pyrrolidinamine, N,3-dimethyl-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)

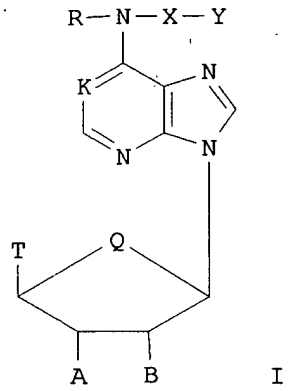


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2000:277985 CAPLUS
DN 132:293976
TI Preparation of adenosine analogues having antihypertensive, cardioprotective, anti-ischemic, and antilipolytic properties
IN Myers, Michael R.; Maguire, Martin P.; Spada, Alfred P.; Ewing, William R.; Pauls, Heinz W.; Choi-Sledeski, Yong Mi
PA Aventis Pharmaceuticals Products Inc., USA
SO PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000023447	A1	20000427	WO 1999-US22932	19991012
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6376472	B1	20020423	US 1998-174191	19981016
	AU 9964107	A1	20000508	AU 1999-64107	19991012
PRAI	US 1998-174191	A	19981016		
	US 1996-21366P	P	19960708		
	WO 1997-US11320	A2	19970701		
	WO 1999-US22932	W	19991012		

OS MARPAT 132:293976
GI



I

AB Adenosine derivs. and analogs I (K = N, NO, CH; Q = CH2, O; R = H, alkyl, allyl, 2-methylallyl, 2-butenyl, cycloalkyl; X = N-contg. heterocycle; Y = H, alkyl, aralkyl, aryl, heterocycle, heterocycloalkyl; T = H, alkyl, acyl, thioacyl, halo, carboxyl, alkoxymethyl; A, B = independently H,

alkyl, hydroxyalkyl, OH) were prepd. as anti-hypertensive, cardioprotective, anti-ischemic, and antilipolytic agents, and for treating hyperlipidemia and hypercholesterolemia. Thus, (2R,3R,4S,5R)-2-hydroxymethyl-5[6-[(1-5-chloropyridin-2-yl)-pyrrolidin-3(S)-ylamino]-purin-9-yl]-tetrahydrofuran-3,4-diol was prepd. and tested for its biol. activity (no data).

IT **202267-58-1P**

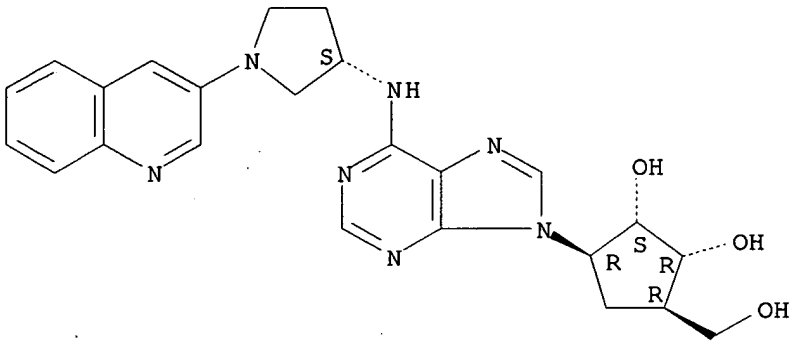
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of adenosine nucleosides as antihypertensives, cardioprotectives, anti-ischemics and anti-lipolytics)

RN 202267-58-1 CAPLUS

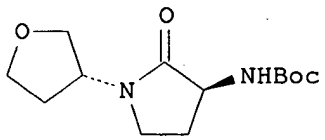
CN 1,2-Cyclopentanediol, 3-(hydroxymethyl)-5-[6-[[(3S)-1-(3-quinolinyl)-3-pyrrolidinyl]amino]-9H-purin-9-yl]-, (1S,2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2000:158955 CAPLUS
DN 132:293622
TI Efficient synthesis of 1-heterocyclic-3-aminopyrrolidinones
AU Bell, Ian M.; Beshore, Douglas C.; Gallicchio, Steven N.; Williams, Theresa M.
CS Department of Medicinal Chemistry, Merck Research Laboratories, Merck and Co., Inc., West Point, PA, 19486, USA
SO Tetrahedron Letters (2000), 41(8), 1141-1145
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 132:293622
GI



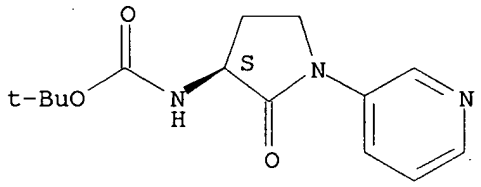
I

AB A novel two-step synthesis of optically active 3-aminopyrrolidinones, e.g. I, is described. The route allows access to pyrrolidinones with heterocyclic functionality that is incompatible with known methodol., and affords the final products in good to excellent yield and high enantiomeric purity. The Mitsunobu cyclodehydration is shown to be an efficient method for the formation of a variety of .gamma.-lactams.

IT **264277-42-1P 264277-44-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective prepn of aminopyrrolidinones via amidation of aminopyranones with subsequent Mitsunobu cyclodehydration)

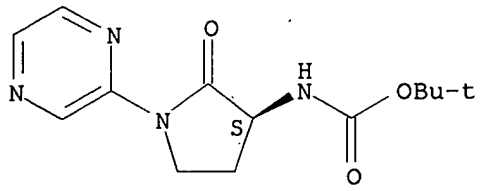
RN 264277-42-1 CAPLUS
CN Carbamic acid, [(3S)-2-oxo-1-(3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264277-44-3 CAPLUS
CN Carbamic acid, [(3S)-2-oxo-1-pyrazinyl-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

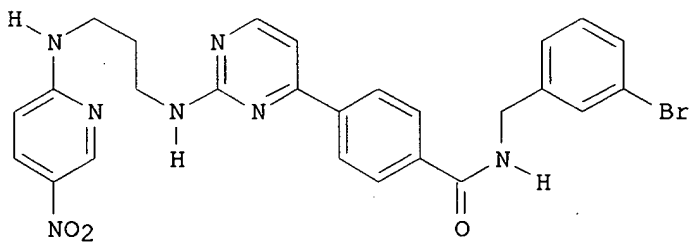


RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 1999:811233 CAPLUS
DN 132:64265
TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
IN Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Brown, Sean P.; Goff, Dane; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithry; Renhowe, Paul A.; Seely, Lynn; Subramanian, Sharadha; Wagman, Allan S.; Zhou, Xiaohui A.
PA Chiron Corporation, USA
SO PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9965897	A1	19991223	WO 1999-US13809	19990618
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9949566	A1	20000105	AU 1999-49566	19990618
	EP 1087963	A1	20010404	EP 1999-933522	19990618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6489344	B1	20021203	US 1999-336098	19990618
PRAI	US 1998-89978P	P	19980619		
	WO 1999-US13809	W	19990618		

OS MARPAT 132:64265
GI



II

AB RZCR2R12CR3R13Z1R5 [I; R = (un)substituted (hetero)aryl; Z = O, NR1, CR1R11; Z1 = O, NR4, CR4R14; R1-R4 = H, OH, NH2, alkyl, alkoxy, etc.; R5 = (un)substituted 2-pyridyl or -pyrimidyl; R11-R14 = H or alkyl] were prepd. Thus, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine which was cyclocondensed with resin-bound

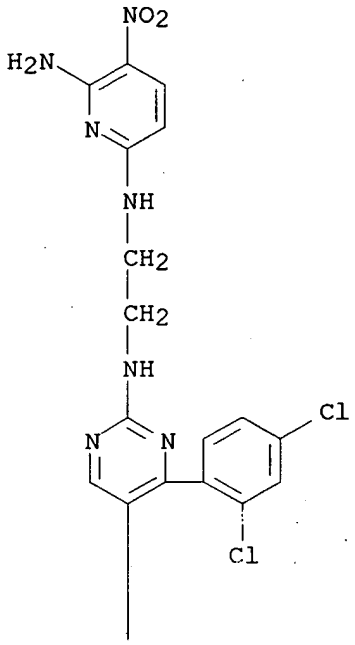
4-(MeCO)C6H4CONHCH2C6H4Br-3 and Cs2CO3 to give, after resin cleavage, title compd. II. Data for biol. activity of I were given.

IT **252917-04-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

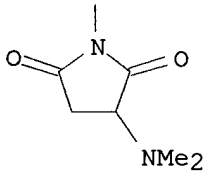
RN 252917-04-7 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-(2,4-dichlorophenyl)-5-pyrimidinyl]-3-(dimethylamino)- (9CI) (CA INDEX NAME)

PAGE 1-A

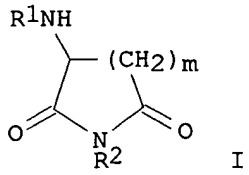


PAGE 2-A



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 1998:581642 CAPLUS
DN 129:275818
TI Synthesis and antiaggregation activity of 3-aminopiperidine-2,6-dione and 3-aminopyrrolidine-2,5-dione derivatives
AU Krys'ko, A. A.; Kabanov, V. M.; Kabanova, T. A.; Belikova, M. V.; Mazepa, A. V.
CS Fiz.-Khim. Inst. im. Bogatskogo, NAN Ukr., Odessa, Ukraine
SO Khimiko-Farmatsevticheskii Zhurnal (1998), 32(6), 18-20
CODEN: KHFZAN; ISSN: 0023-1134
PB Izdatel'stvo Folium
DT Journal
LA Russian
GI



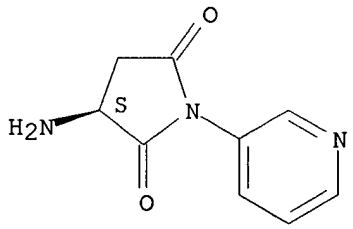
I

AB Title compds. I (R1 = H, Boc, L-prolyl, L-4-thiazolidinylcarbonyl; R2 = H, 2-, 3-, 4-pyridinyl; m = 1, 2) were prepd. as the free base, monohydrochloride, or dihydrochloride and were submitted to thrombocyte aggregation tests.

IT **213742-20-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiaggregation activity of 3-aminopiperidine-2,6-diones and 3-aminopyrrolidine-2,5-diones)

RN 213742-20-2 CAPLUS
CN 2,5-Pyrrolidinedione, 3-amino-1-(3-pyridinyl)-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



●2 HCl

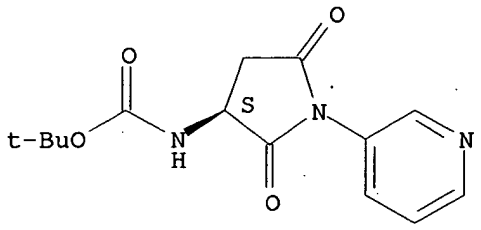
IT **213742-15-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and antiaggregation activity of 3-aminopiperidine-2,6-diones 3-aminopyrrolidine-2,5-diones)

RN 213742-15-5 CAPLUS

CN Carbamic acid, [(3S)-2,5-dioxo-1-(3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

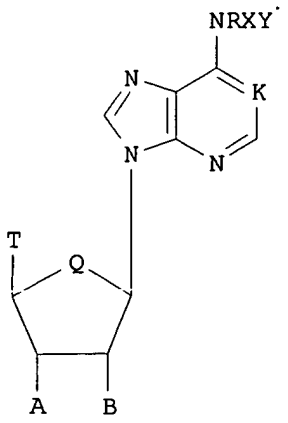
Absolute stereochemistry. Rotation (-).



L8 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 1998:65893 CAPLUS
DN 128:140967
TI Preparation of adenosine nucleosides as antihypertensives, cardioprotectives, anti-ischemics and antilipolytics
IN Myers, Michael R.; Maguire, Martin P.; Spada, Alfred P.; Ewing, William R.; Pauls, Henry W.; Choi-Sledeski, Yong-Mi
PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA; Myers, Michael R.; Maguire, Martin P.; Spada, Alfred P.; Ewing, William R.; Pauls, Henry W.; Choi-Sledeski, Yong-Mi
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9801426	A1	19980115	WO 1997-US11320	19970701
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9736454	A1	19980202	AU 1997-36454	19970701
	AU 746590	B2	20020502		
	EP 912520	A1	19990506	EP 1997-933212	19970701
	EP 912520	B1	20030507		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
	BR 9710156	A	19990810	BR 1997-10156	19970701
	CN 1228770	A	19990915	CN 1997-197444	19970701
	JP 2000514801	T2	20001107	JP 1998-505247	19970701
	AP 903	A	20001124	AP 1998-1426	19970701
	W: GH, KE, LS, MW, SD, SZ, UG, ZW				
	US 6376472	B1	20020423	US 1998-174191	19981016
	NO 9900063	A	19990308	NO 1999-63	19990107
	MX 9900450	A	20000131	MX 1999-450	19990108
	KR 2000023635	A	20000425	KR 1999-700085	19990108
	US 2002099030	A1	20020725	US 2002-104133	20020322
	US 6559313	B2	20030506		
PRAI	US 1996-21366P	P	19960708		
	WO 1997-US11320	W	19970701		

OS MARPAT 128:140967
GI



I

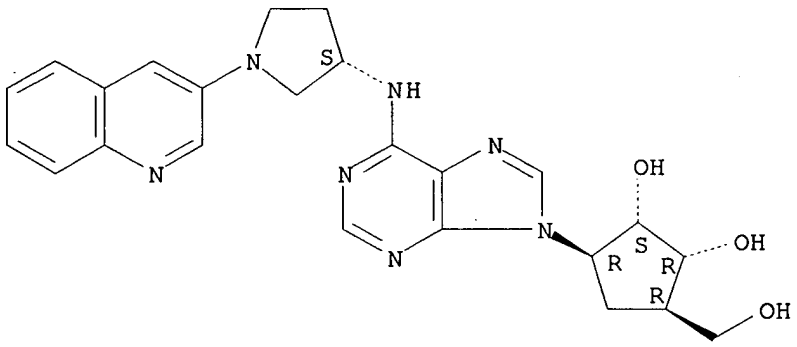
AB Adenosine derivs. and analogs I (K = N, NO, CH; Q = CH2, O; R = H, alkyl, allyl, 2-methyl-allyl, 2-butenyl, cycloalkyl; X = N-contg. heterocycle; Y = H, alkyl, aralkyl, aryl, heterocycle, hetero-cycloalkyl; T = H, alkyl, acyl, thioacyl, halo, carboxyl, alkoxymethyl; A, B = independently H, alkyl, hydroxyalkyl, OH) were prepd. as anti-hypertensive, cardioprotective, anti-ischemic, and antilipolytic agents, and treating hyperlipidemia and hypercholesterolemia. Thus, (2R,3R,4S,5R)-2-hydroxymethyl-5[6-[(1-5-chloropyridin-2-yl)-pyrrolidin-3(S)-ylamino]-purin-9-yl]-tetrahydrofuran-3,4-diol was prepd. and tested for its biol. activity (no data).

IT **202267-58-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of adenosine nucleosides as antihypertensives cardioprotectives antiischemics and antilipolytics)

RN 202267-58-1 CAPLUS

CN 1,2-Cyclopentanediol, 3-(hydroxymethyl)-5-[6-[[(3S)-1-(3-quinolinyl)-3-pyrrolidinyl]amino]-9H-purin-9-yl]-, (1S,2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

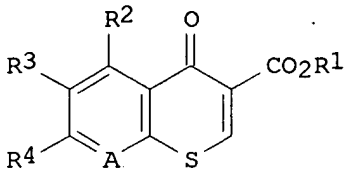


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 1996:34572 CAPLUS
DN 124:86817
TI Preparation of benzothiopyran derivatives and analogs as bactericides
IN Todo, Yozo; Nitsuta, Jun; Hayashi, Kazuya; Takamatsu, Tamotsu; Uehara, Sayuri; Fukuoka, Yoshikazu; Watanabe, Yasuo; Narita, Hirokazu
PA Toyama Chemical Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 07242660	A2	19950919	JP 1994-60218	19940304
PRAI JP 1994-60218		19940304		

OS MARPAT 124:86817
GI

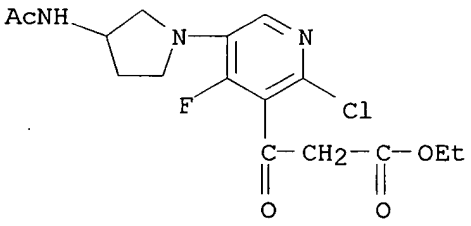


I

AB The title compds. I [R1 = H, CO2H-protecting group; R2 = H, halo, etc.; R3 = H, halo; R4 = (protected) alkylamino, etc.; A = N, CY; Y = H, halo, etc.] are prepd. I.HCl [R1 = R2 = H; R3 = F; R4 = 3-aminopyrrolidin-1-yl; A = CH] (NMR data given) in vitro showed MIC of 0.78 .mu.g/mL against E. coli NIHJ.

IT **172415-05-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzothiopyran derivs. as bactericides)

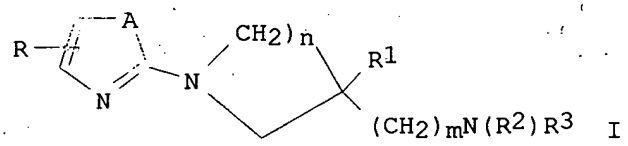
RN 172415-05-3 CAPLUS
CN 3-Pyridinepropanoic acid, 5-[3-(acetylamino)-1-pyrrolidinyl]-2-chloro-4-fluoro-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L1 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 1995:17131 CAPLUS
DN 122:31332
TI 1-(Heteroaryl)-azetidines and -pyrrolidines as 5-HT3 receptor agonists
IN Guzzi, Umberto; Giudice, Antonina; Mazza, Vivian; Baroni, Marco; Landi, Marco
PA Elf Sanofi, Fr.; Midy S.p.A.
SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 591030	A2	19940406	EP 1993-402323	19930923
	EP 591030	A3	19940427		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	CA 2106840	AA	19940326	CA 1993-2106840	19930923
	AU 9347566	A1	19940331	AU 1993-47566	19930924
	AU 661198	B2	19950713		
	HU 65405	A2	19940628	HU 1993-2707	19930924
	US 5410057	A	19950425	US 1993-127038	19930924
	JP 06192251	A2	19940712	JP 1993-240188	19930927
	US 5565474	A	19961015	US 1995-368915	19950105
	US 5576320	A	19961119	US 1995-466912	19950606
PRAI	EP 1992-402642		19920925		
	EP 1992-402643		19920925		
	US 1993-127038		19930924		
	US 1995-368915		19950105		

OS MARPAT 122:31332
GI

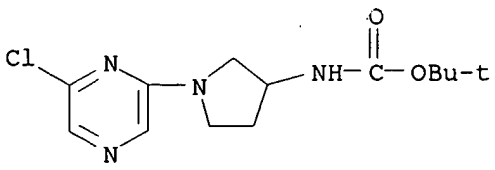


I

AB The title compds. [I; A = CH:CH, CH:N N:CH; R = H, halogen, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylthiol, (un)substituted amino, 1-piperidino etc.; R1 = H, Me; R2, R3 = H, C1-4 alkyl; m = 0, 1; n = 1, 2; such that m + n >2], which are serotonin 5-HT3 receptor antagonists (no data), are prepd. Thus, 3-(acetylaminomethyl)azetidine chlorohydride was reacted with 2,6-dichloropyridine, the intermediates subjected to aq. KOH, and salified with isopropanolic HCl, producing 2-(3-aminomethylazetidin-1-yl)-6-chloropyridine hydrochloride, m.p. 200-202.degree..

IT **159603-27-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of 5-HT3 receptor antagonists)

RN 159603-27-7 CAPLUS
CN Carbamic acid, [1-(6-chloropyrazinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

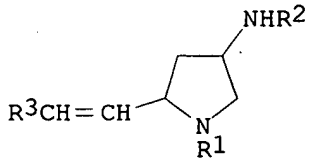


RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as 5-HT3 receptor antagonist

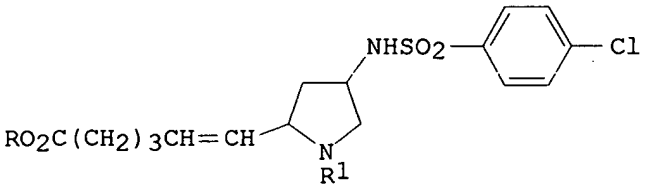
L9 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 1991:42564 CAPLUS
DN 114:42564
TI Preparation of 1-alkyl-2-(carboxyalkenyl)-3-(acylamino)pyrrolidines and analogs as thromboxane A2 antagonists
IN Setoi, Hiroyuki; Sawada, Akihiko; Tanaka, Hirokazu; Hashimoto, Masashi
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 37 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 367130	A2	19900509	EP 1989-119959	19891027
	EP 367130	A3	19910313		
	EP 367130	B1	19961002		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 5130323	A	19920714	US 1989-421399	19891013
	ZA 8907829	A	19900725	ZA 1989-7829	19891016
	IL 92010	A1	19930610	IL 1989-92010	19891016
	AU 8943753	A1	19900503	AU 1989-43753	19891026
	AU 628406	B2	19920917		
	AT 143658	E	19961015	AT 1989-119959	19891027
	ES 2092470	T3	19961201	ES 1989-119959	19891027
	CA 2001750	AA	19900430	CA 1989-2001750	19891030
	CA 2001750	C	19980915		
	DK 8905405	A	19900501	DK 1989-5405	19891030
	NO 8904325	A	19900502	NO 1989-4325	19891030
	NO 174886	B	19940418		
	NO 174886	C	19940727		
	CN 1042355	A	19900523	CN 1989-108348	19891030
	CN 1024791	B	19940601		
	HU 52044	A2	19900628	HU 1989-5612	19891030
	HU 204033	B	19911128		
	SU 1819061	A3	19930530	SU 1989-4742358	19891030
	FI 89594	B	19930715	FI 1989-5139	19891030
	FI 89594	C	19931025		
	JP 02152960	A2	19900612	JP 1989-285798	19891031
	JP 07020928	B4	19950308		
	RU 2095346	C1	19971110	RU 1991-5001692	19911016
	US 5264453	A	19931123	US 1992-843196	19920228
	US 5514701	A	19960507	US 1993-95350	19930723
PRAI	GB 1988-25454		19881031		
	GB 1989-8387		19890413		
	US 1989-421399		19891013		
	US 1992-843196		19920228		

OS MARPAT 114:42564
GI



I



II

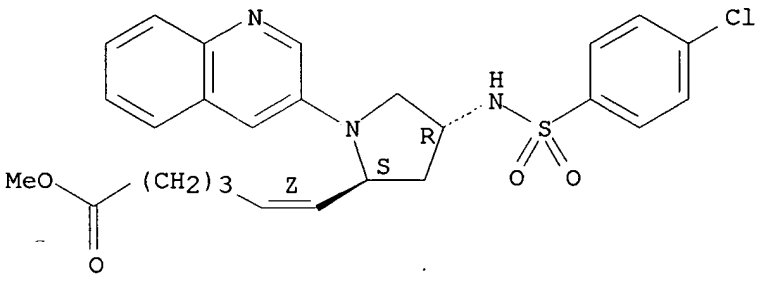
AB The title compds. [I; R1 alkyl, heterocyclalkyl, (un)substituted aralkyl; R2 = H, acyl; R3 = (un)protected carboxyalkyl, carboxyaryl were prepd. as thromboxane A2 synthetase inhibitors. Thus, HO2C(CH2)4PPh3Br was stirred 1 h with (Me3Si)2NLi in THF/HMPA after which the soln. was cooled to -25.degree. and a soln. of (2S,4R)-1-tert-butoxycarbonyl-4-(4-chlorophenylsulfonylamino)-2-formylpyrrolidine (prepn. given) was added and stirring continued 30 min to give pentenylpyrrolidine II (R = H, R1 = COCMe3) which was deprotected and the product (II; R = Me, R1 = H) stirred 3 h with nicotinaldehyde in MeOH contg. NaBH3CN and HOAc to give, after sapon. II (R = H, R1 = 3-pyridylmethyl) which had IC50 of 4.6 .times. 10-8M against thromboxane A2 synthetase in vitro.

IT **130541-33-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as thromboxane synthetase inhibitor)

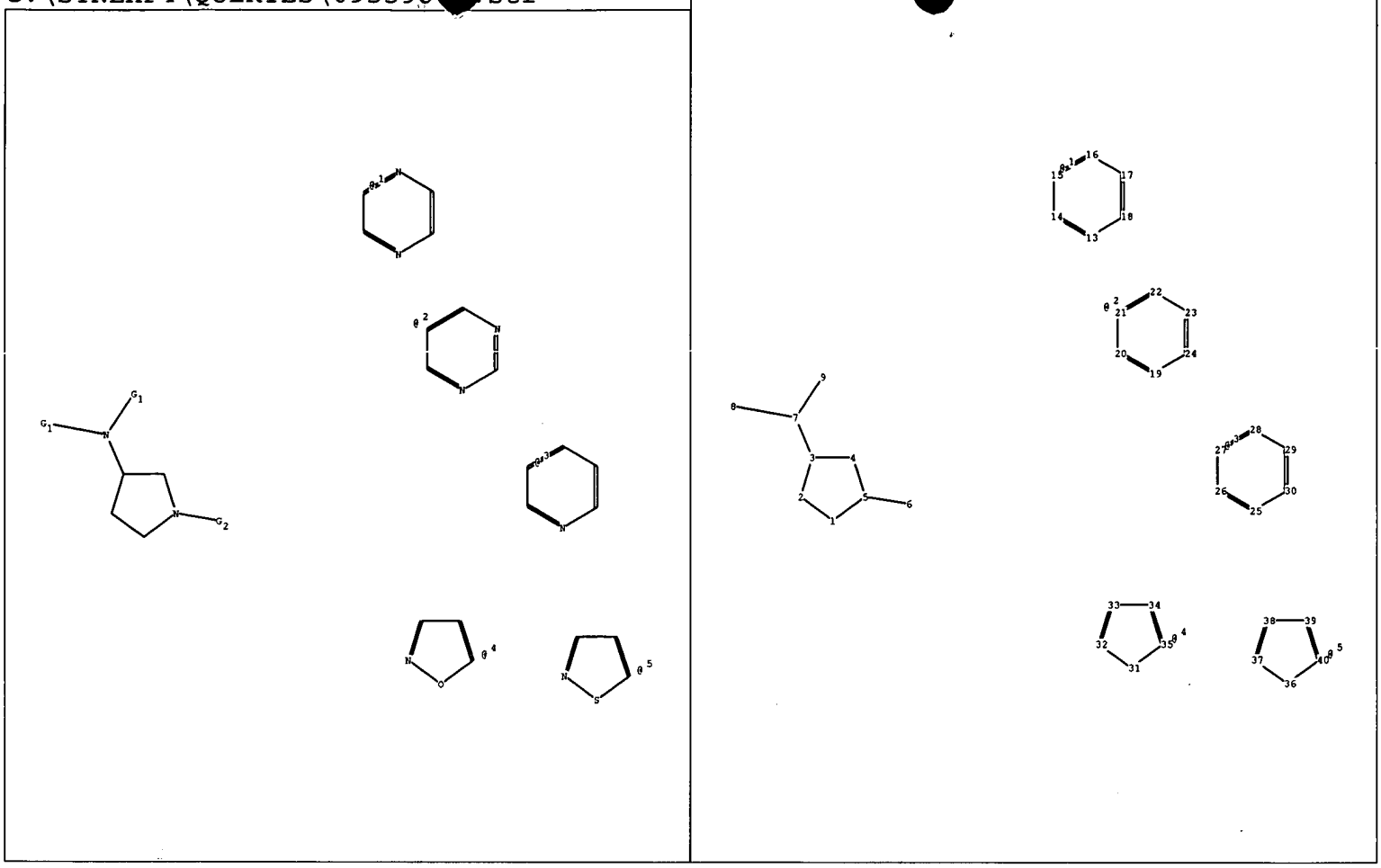
RN 130541-33-2 CAPLUS

CN 5-Hexenoic acid, 6-[4-[[(4-chlorophenyl)sulfonyl]amino]-1-(3-quinolinyl)-2-pyrrolidinyl]-, methyl ester, [2S-[2.alpha.(Z),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



C:\STNEXP4\QUERIES\095598[redacted].str



chain nodes :
6 7 8 9
ring nodes :
1 2 3 4 5 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27
28 29 30 31 32 33 34 35 36 37 38 39 40
chain bonds :
3-7 5-6 7-8 7-9
ring bonds :
1-2 1-5 2-3 3-4 4-5 13-14 13-18 14-15 15-16 16-17 17-18 19-20
19-24 20-21 21-22 22-23 23-24 25-26 25-30 26-27 27-28 28-29
29-30 31-32 31-35 32-33 33-34 34-35 36-37 36-40 37-38 38-39
39-40
exact/norm bonds :
1-5 3-7 4-5 5-6 7-8 7-9 31-32 31-35 32-33 33-34 34-35 36-37
36-40 37-38 38-39 39-40
exact bonds :
1-2 2-3 3-4
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22
22-23 23-24 25-26 25-30 26-27 27-28 28-29 29-30
isolated ring systems :
containing 1 :

G1:C,H

G2:[*1],[*2],[*3],[*4],[*5]

Match level :

1:Atom 2:Atom 3:Ato 4:Atom 5:Atom 6:CLASS CLASS 8:CLASS
9:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom
28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom
36:Atom 37:Atom 38:Atom 39:Atom 40:Atom